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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT 19	BEILSTEIN updated with new compounds
NEWS	4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV 19	WPIX enhanced with XML display format
NEWS	6	NOV 30	ICSD reloaded with enhancements
NEWS	7	DEC 04	LINPADOCDB now available on STN
NEWS	8	DEC 14	BEILSTEIN pricing structure to change
NEWS	9	DEC 17	USPATOLD added to additional database clusters
NEWS	10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC 17	DGENE now includes more than 10 million sequences
NEWS	12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC 17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN 02	STN pricing information for 2008 now available
NEWS	17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN 28	MARPAT searching enhanced
NEWS	20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB 08	STN Express, Version 8.3, now available
NEWS	24	FEB 20	PCI now available as a replacement to DPCI
NEWS	25	FEB 25	IFIREF reloaded with enhancements
NEWS	26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS EXPRESS	FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008		
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		
NEWS IPC8	For general information regarding STN implementation of IPC 8		

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 19:04:37 ON 11 MAR 2008

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 19:04:53 ON 11 MAR 2008

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STRUCTURE FILE UPDATES: 10 MAR 2008 HIGHEST RN 1007341-18-5

DICTIONARY FILE UPDATES: 10 MAR 2008 HIGHEST RN 1007341-18-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

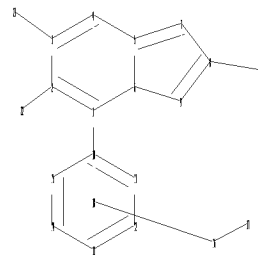
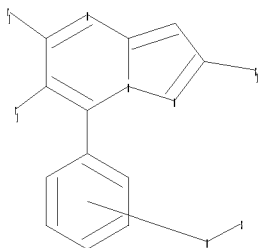
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10562559.str



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chain nodes :
21 22 24
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
ring/chain nodes :
16 17
chain bonds :
1-10 2-22 3-21 8-24 16-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
1-2 1-6 2-3 2-22 3-4 3-21 4-5 5-6 6-9 8-9 8-24 16-17
exact bonds :
1-10 5-7 7-8
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

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G1:H,CH3

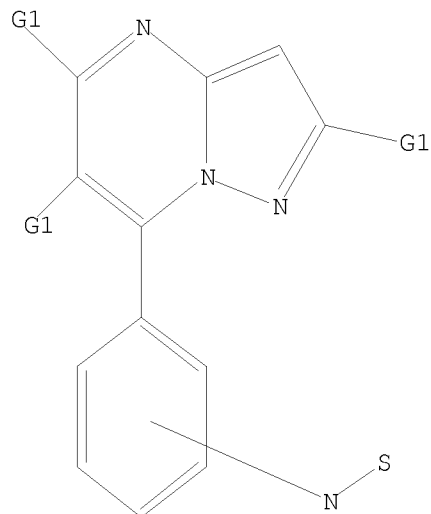
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:Atom 21:CLASS
22:CLASS 24:CLASS

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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR
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G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 19:05:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 100 TO ITERATE

100.0% PROCESSED      100 ITERATIONS      3 ANSWERS
SEARCH TIME: 00.00.01
```

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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:   1401 TO    2599
PROJECTED ANSWERS:      3 TO      163
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L2      3 SEA SSS SAM L1
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FULL SCREEN SEARCH COMPLETED - 2286 TO ITERATE

100.0% PROCESSED      2286 ITERATIONS      140 ANSWERS
SEARCH TIME: 00.00.01
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L3      140 SEA SSS FUL L1
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=> fil capl
COST IN U.S. DOLLARS      SINCE FILE      TOTAL
                           ENTRY      SESSION
FULL ESTIMATED COST      178.36      178.57
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FILE 'CAPLUS' ENTERED AT 19:05:46 ON 11 MAR 2008

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FILE COVERS 1907 - 11 Mar 2008 VOL 148 ISS 11
FILE LAST UPDATED: 10 Mar 2008 (20080310/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 13

L4 11 L3

=> s 14 not (2008/so or 2007/so or 2006/so or 2005/so)

122596 2008/SO

885072 2007/SO

934267 2006/SO

882675 2005/SO

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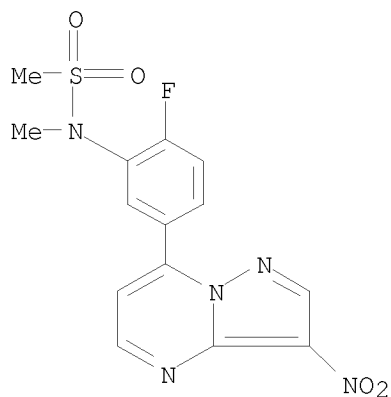
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:147237 CAPLUS
DOCUMENT NUMBER: 148:239222
TITLE: Preparation of pyrazolo[1,5-a]pyrimidines with
affinity for GABAA
INVENTOR(S): Anglada, Luis; Palomer, Albert; Guglietta, Antonio
PATENT ASSIGNEE(S): Ferrer Internacional, S.A., Spain
SOURCE: Eur. Pat. Appl., 20pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

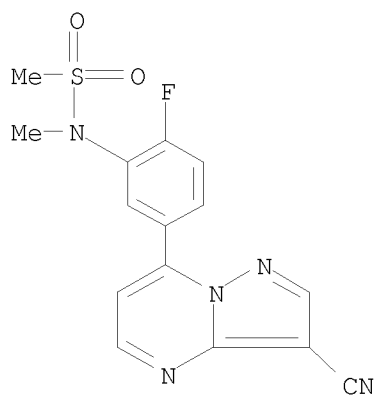
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WO 2008015253	A1	20080207	WO 2007-EP58006	20070802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2006-118454 A 20060804
US 2006-835444P P 20060804

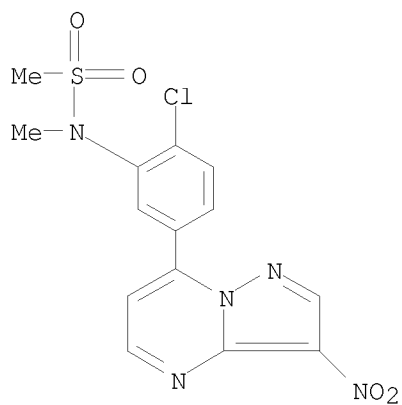
IT 1006062-82-3P, N-[2-Fluoro-5-(3-nitropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylmethanesulfonamide 1006062-83-4P,
N-[2-Fluoro-5-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylmethanesulfonamide 1006062-84-5P, N-[2-Chloro-5-(3-nitropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylmethanesulfonamide 1006062-85-6P, N-[2-Chloro-5-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylmethanesulfonamide 1006062-88-9P, N-[2-Fluoro-5-(3-cyano-2-methylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylmethanesulfonamide 1006062-89-0P, N-[2-Chloro-5-(3-cyano-2-methylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylmethanesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidines with affinity for GABAA)
RN 1006062-82-3 CAPLUS
CN Methanesulfonamide, N-[2-fluoro-5-(3-nitropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methyl- (CA INDEX NAME)



RN 1006062-83-4 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

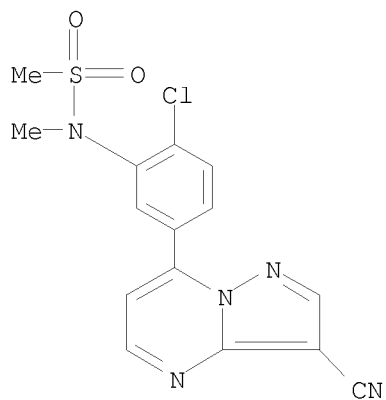


RN 1006062-84-5 CAPLUS
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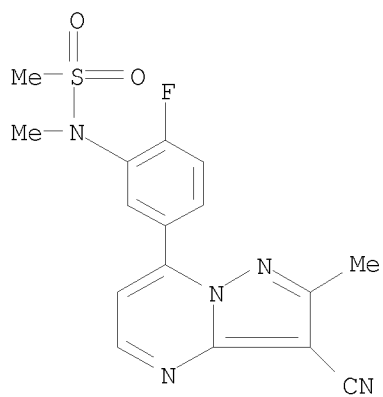


RN 1006062-85-6 CAPLUS

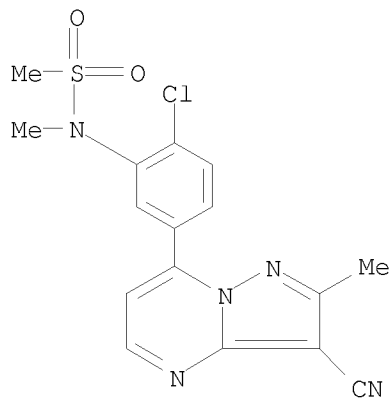
CN Methanesulfonamide, N-[2-chloro-5-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methyl- (CA INDEX NAME)



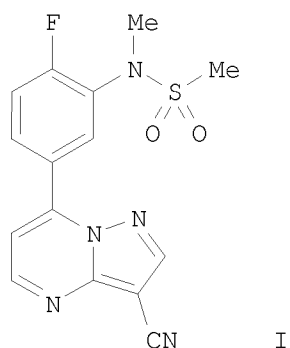
RN 1006062-88-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1006062-89-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



GI



AB The invention relates to pyrazolo[1,5-a]pyrimidines (e.g., I), which are useful for treating or preventing anxiety, epilepsy and sleep disorders including insomnia, and for inducing sedation-hypnosis, anesthesia, sleep and muscle relaxation. For instance, compound I was prepared and gave a higher percentage (10-20%) of the remaining parent compound compared with zaleplon after incubation for a period of 60 and 120 min.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1053843 CAPLUS
DOCUMENT NUMBER: 147:386006
TITLE: Pyrazolo[1,5-a]pyrimidine derivatives and methods of
use in the treatment of cancer
INVENTOR(S): Gopalsamy, Ariamala; Ciszewski, Gregory M.; Shi,
Mengxiao; Berger, Dan Maarten; Torres, Nancy; Levin,
Jeremy I.; Powell, Dennis William
PATENT ASSIGNEE(S): Wyeth, USA
SOURCE: U.S. Pat. Appl. Publ., 78pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007219186	A1	20070920	US 2007-724689	20070315
WO 2007109093	A2	20070927	WO 2007-US6539	20070315
WO 2007109093	A3	20080124		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2006-783631P P 20060317

OTHER SOURCE(S): MARPAT 147:386006

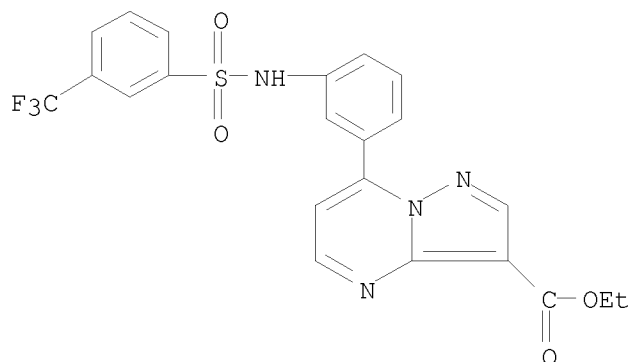
IT 950732-49-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

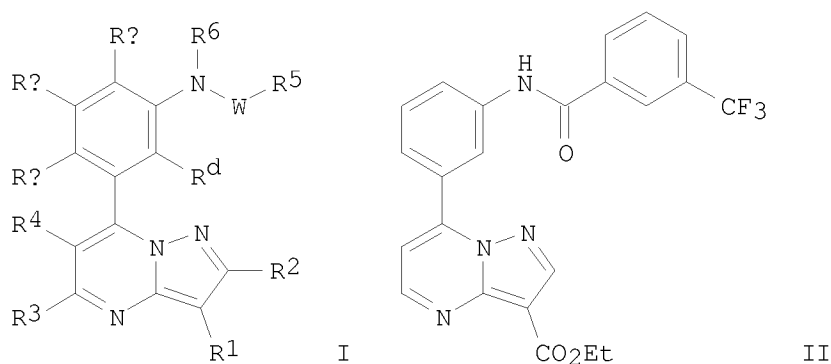
(drug candidate; preparation of pyrazolopyrimidine derivs. useful in the prevention and treatment of cancer)

RN 950732-49-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



GI



AB The invention relates to pyrazolo[1,5-a]pyrimidine derivs. of formula I, compns. comprising an effective amount of a pyrazolo[1,5-a]pyrimidine derivative

and methods for treating or preventing cancer, comprising administering to a subject in need thereof an effective amount of a pyrazolo[1,5-a]pyrimidine derivative Compds. of formula I wherein R1 is CO2H and derivs., CONH2 and derivs., NHCHO and derivs., NH-acyl and derivs., CN, 5- to 7-membered heterocyclic ring, heteroaryl, etc.; R2 and R5 are independently C1-6 alkyl, branched C3-8 alkyl, (cis/trans)-C2-6 alkenyl, (hetero)aryl, etc.; Ra, Rb, Rc, Rd, R3 and R4 are independently, H, NO2, CN, N3, CHO, CF3, OCF3, OH and derivs., etc.; R6 is H, C1-6 alkyl, and branched C3-8 alkyl; W is CO, CONH and derivs, SO2, and COC(R6)2; and their pharmaceutically acceptable salts and prodrugs thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their kinase inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.72 μ M and 66% inhibition at 10 μ M.

L5 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1355878 CAPLUS
DOCUMENT NUMBER: 146:81889
TITLE: Preparation of halogenated pyrazolo[1,5-a]pyrimidines
as GABA-A receptor ligands.
INVENTOR(S): Anglada, Luis; Palomer, Albert; Guglietta, Antonio
PATENT ASSIGNEE(S): Ferrer Internacional, S.A., Spain
SOURCE: PCT Int. Appl., 48pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006136530	A1	20061228	WO 2006-EP63243	20060615
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1736475	A1	20061227	EP 2005-105478	20050621
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AU 2006261025	A1	20061228	AU 2006-261025	20060615
IN 2007KN05066	A	20080215	IN 2007-KN5066	20071227
PRIORITY APPLN. INFO.:			EP 2005-105478	A 20050621
			US 2005-692866P	P 20050621
			WO 2006-EP63243	W 20060615

OTHER SOURCE(S): CASREACT 146:81889; MARPAT 146:81889

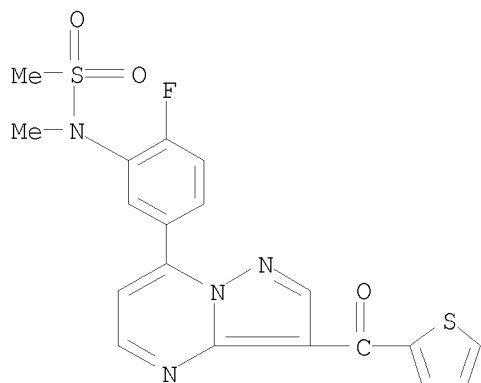
IT 917393-42-1P 917393-44-3P 917393-45-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of halogenated pyrazolopyrimidines as GABA-A receptor ligands)

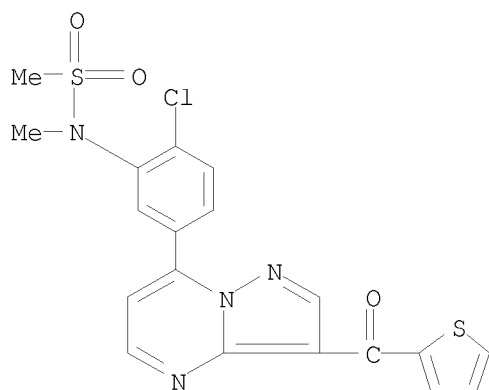
RN 917393-42-1 CAPLUS

CN Methanesulfonamide, N-[2-fluoro-5-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-methyl- (CA INDEX NAME)



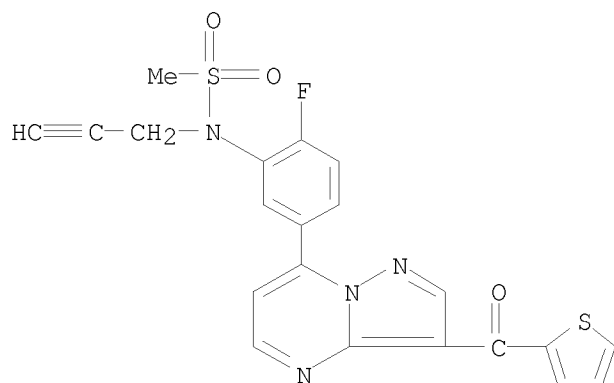
RN 917393-44-3 CAPLUS

CN Methanesulfonamide, N-[2-chloro-5-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-methyl- (CA INDEX NAME)

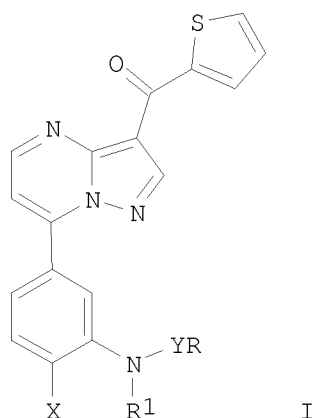


RN 917393-45-4 CAPLUS

CN Methanesulfonamide, N-[2-fluoro-5-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-2-propyn-1-yl- (CA INDEX NAME)



GI



AB Title compds. (I; R = alkyl; R1 = alkyl, alkynyl; X = halo; Y = CO, SO₂), were prepared Thus, (5-amino-1H-pyrazol-4-yl)thiophene-2-ylmethanone and N-[5-(3-dimethylaminoacryloyl)-2-fluorophenyl]-N-methylacetamide (preparation given) were refluxed together in HOAc for 2.5 h to give 75% N-[2-fluoro-5-[3-(thiophene-2-carbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-methylacetamide. The latter at 10⁻⁵ M showed 99.3% inhibition of the α 2 subunit of the GABA-A receptor, with and α 2/ α 1 selectivity ratio of 9.6. I are useful for treating or preventing anxiety, epilepsy and sleep disorders including insomnia, and for inducing sedation-hypnosis, anesthesia, sleep and muscle relaxation.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2006:273658 CAPLUS
 DOCUMENT NUMBER: 144:331457
 TITLE: Preparation of substituted pyrazolo[1,5-a]pyrimidines and methods of their use as antiproliferative agents
 INVENTOR(S): Wang, Yanong Daniel; Gopalsamy, Ariamala; Honores, Erick Eduardo; Jennings, Lee Dalton; Johnson, Steven Lawrence; Powell, Dennis William; Sum, Fuk-Wah; Tsou, Hwei-Ru; Wu, Biqi; Zhang, Nan
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 83 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006063784	A1	20060323	US 2005-221846	20050909
WO 2006033795	A2	20060330	WO 2005-US31087	20050901
WO 2006033795	A3	20060810		

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PRIORITY APPLN. INFO.: US 2004-610550P P 20040917

OTHER SOURCE(S): MARPAT 144:331457

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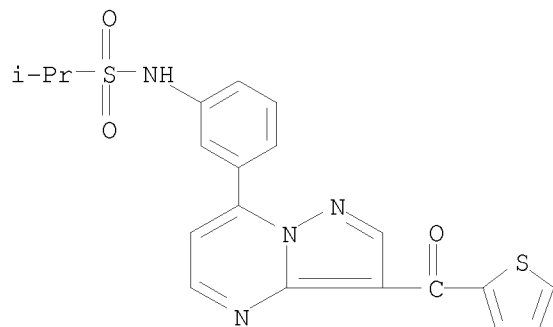
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 , 1,1-Dimethyl-3-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]sulfamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted pyrazolo[1,5-a]pyrimidines as antitumor agents)

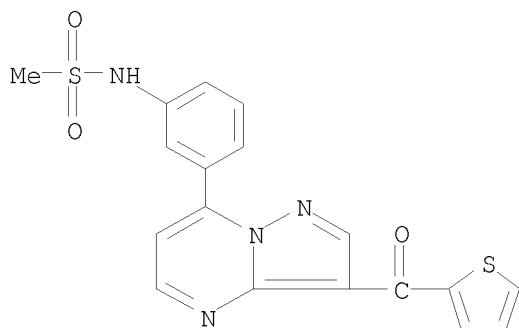
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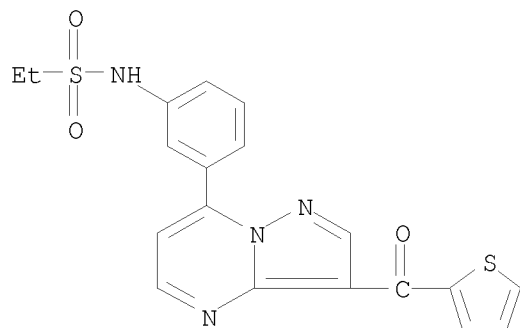
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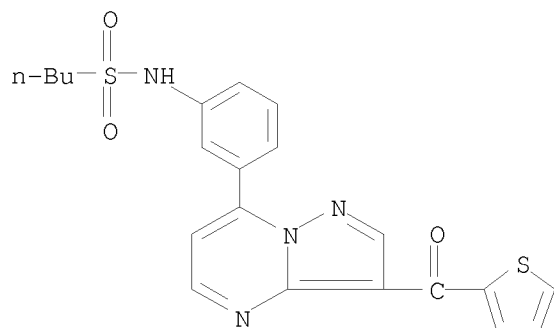
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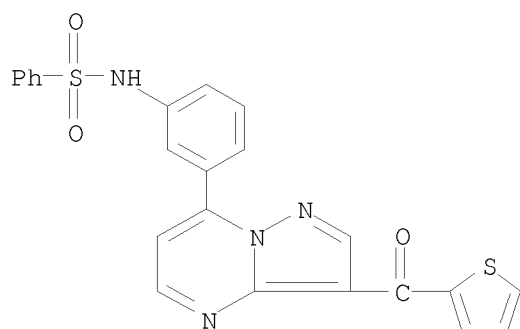
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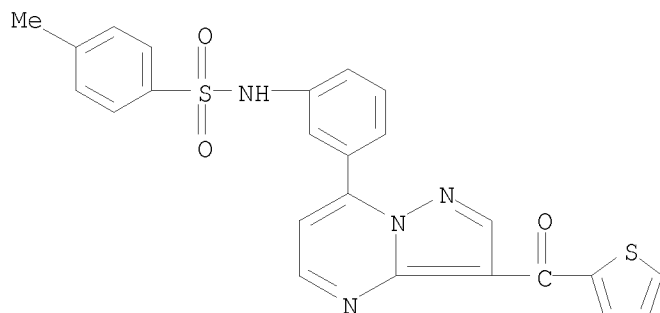
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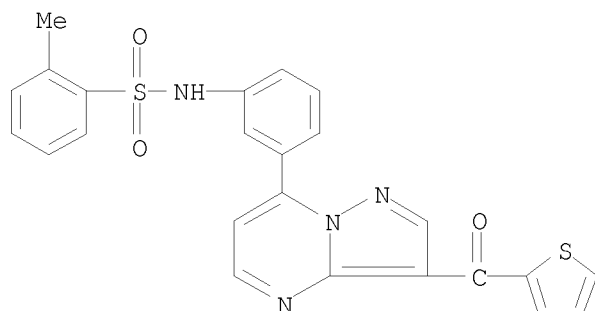
RN 879369-62-7 CAPLUS

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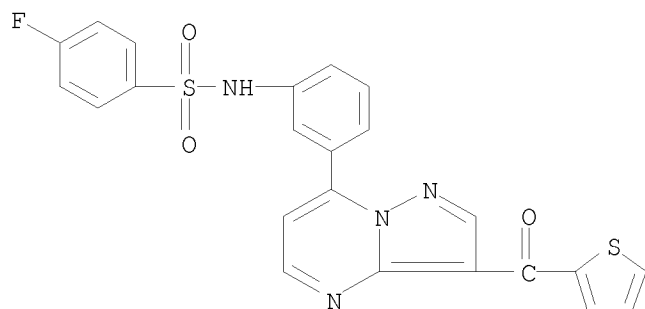
RN 879369-63-8 CAPLUS

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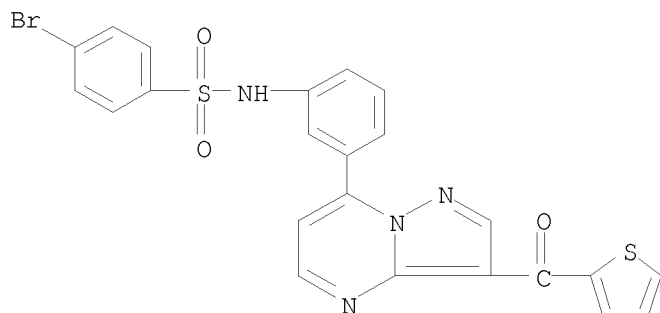
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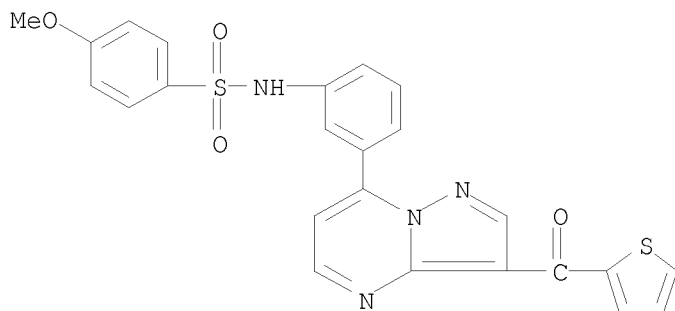
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RN 879369-67-2 CAPLUS

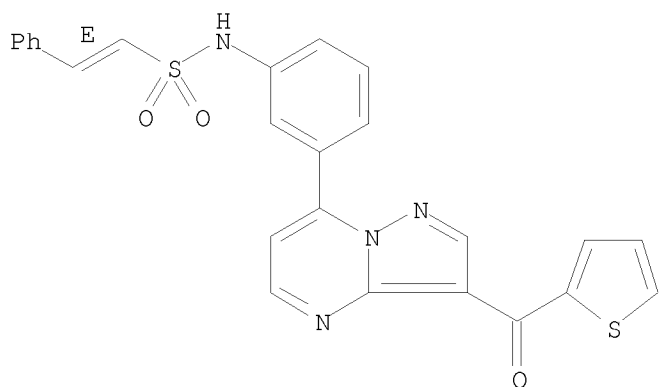
CN Benzenesulfonamide, 4-methoxy-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



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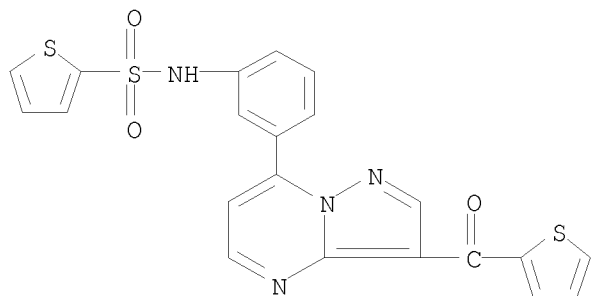
CN Ethenesulfonamide, 2-phenyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-, (1E)- (CA INDEX NAME)

Double bond geometry as shown.



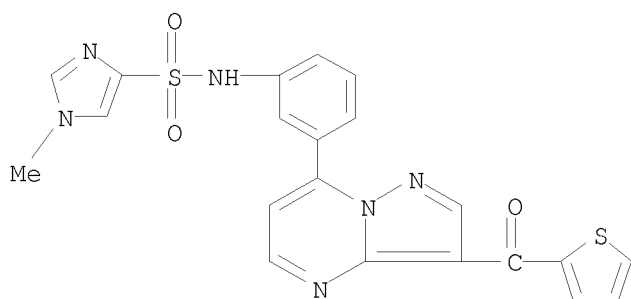
RN 879369-69-4 CAPLUS

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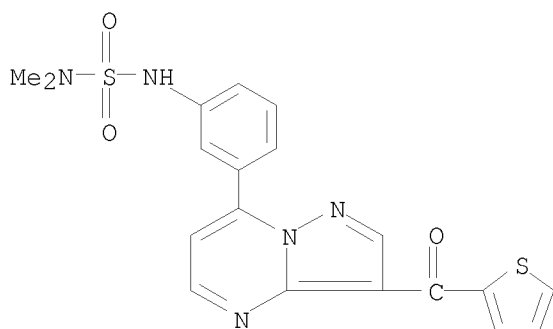
RN 879369-70-7 CAPLUS

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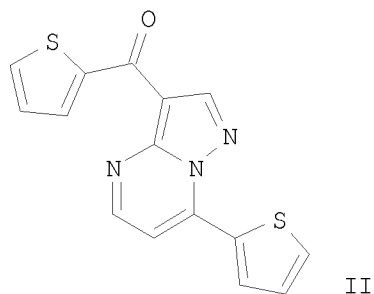
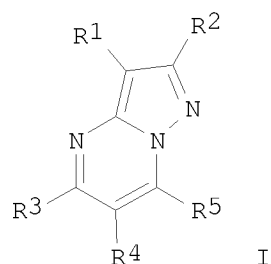


RN 879369-71-8 CAPLUS

CN Sulfamide, N,N-dimethyl-N'-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



GI



AB The invention is related to novel methods of use of pyrazolo[1,5-a]pyrimidines I [R1 = H, CN, halo, CHO, CO₂H, etc.; R2-R4 = H, CF₃, alkyl; R5 = (un)substituted hetero/aryl], and their therapeutically acceptable salts and prodrugs, as antiproliferative agents, particularly antitumor agents, in mammals, including humans. The use of pyrazolopyrimidines I in regulating the expression of p21 in cells, and the preparation of certain I are given. Thus, reacting (3-Amino-1H-pyrazol-4-yl)(thien-2-yl)methanone (preparation given) with 3-(Dimethylamino)-1-(2-thienyl)-2-propen-1-one (preparation given) gave pyrazolopyrimidine II. In a cytotoxicity test against 80S14 (p21-deficient) cells, II had an IC₅₀ in the range of 1-10 μ M.

L5 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:273618 CAPLUS
 DOCUMENT NUMBER: 144:312112
 TITLE: Preparation of substituted pyrazolo[1,5-a]pyrimidines
 as antiproliferative agents
 INVENTOR(S): Wang, Yanong Daniel; Gopalsamy, Ariamala; Powell,
 Dennis William; Tsou, Hwei-Ru; Zhang, Nan
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 84 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006063785	A1	20060323	US 2005-221847	20050909
WO 2006033796	A1	20060330	WO 2005-US31088	20050901
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PRIORITY APPLN. INFO.: US 2004-610520P P 20040917

OTHER SOURCE(S): MARPAT 144:312112

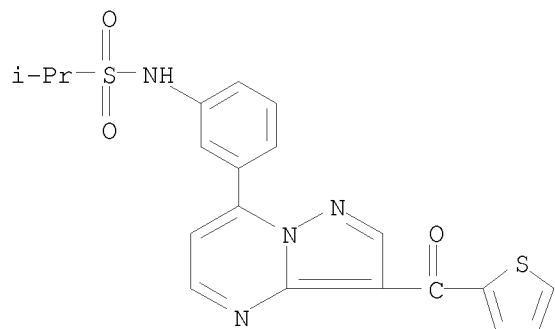
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of substituted pyrazolo[1,5-a]pyrimidines as antiproliferative agents)

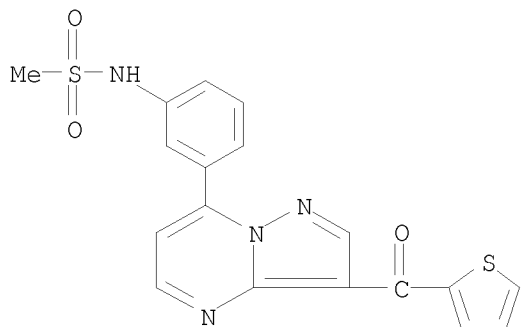
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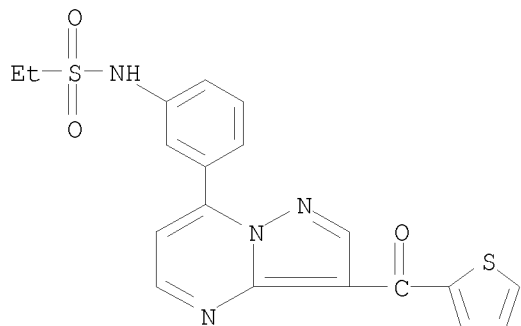
RN 879369-58-1 CAPLUS

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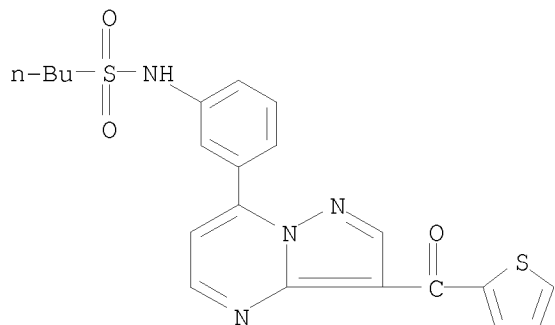
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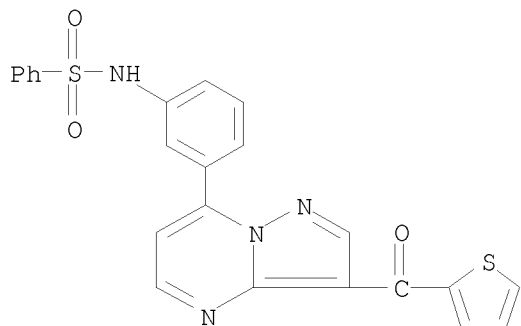
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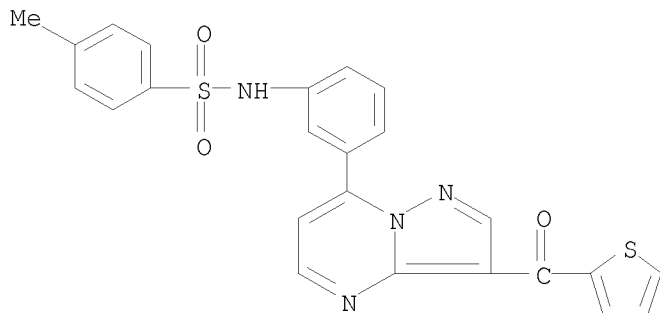
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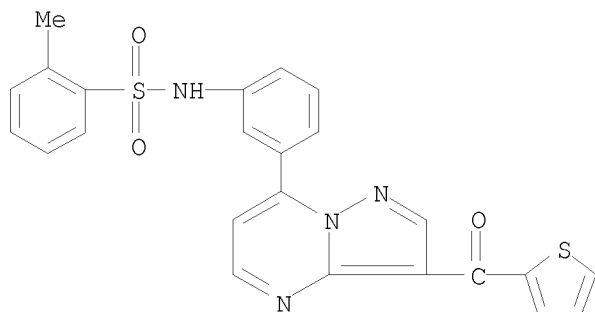
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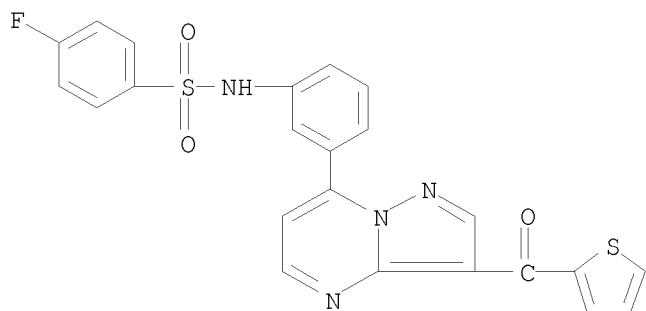
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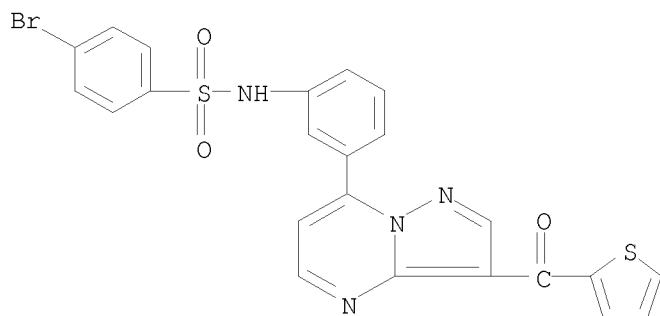
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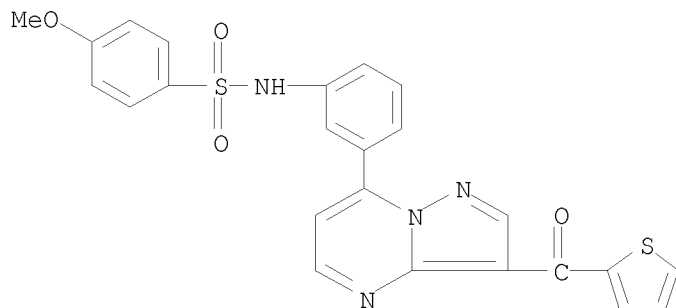
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RN 879369-67-2 CAPLUS

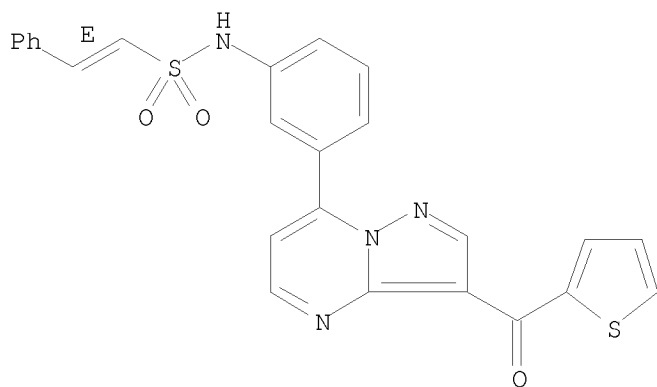
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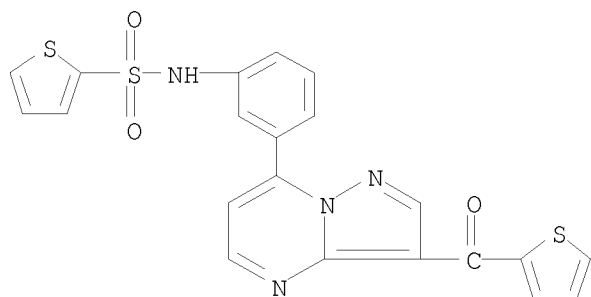
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Double bond geometry as shown.



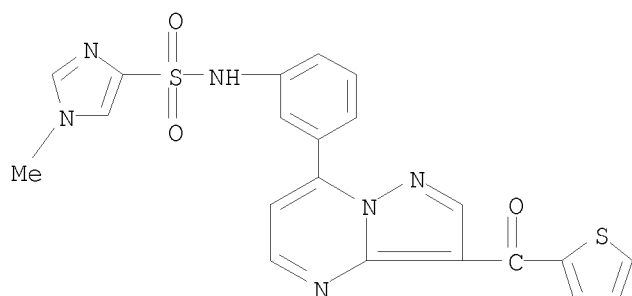
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CN 2-Thiophenesulfonamide, N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



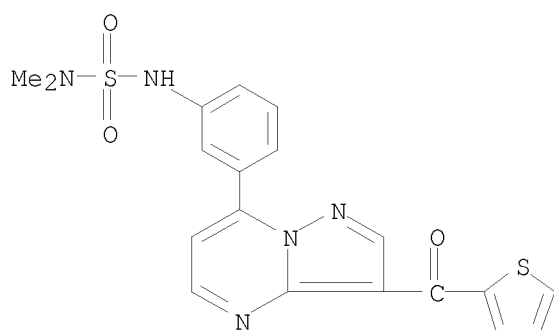
RN 879369-70-7 CAPLUS

CN 1H-Imidazole-4-sulfonamide, 1-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)

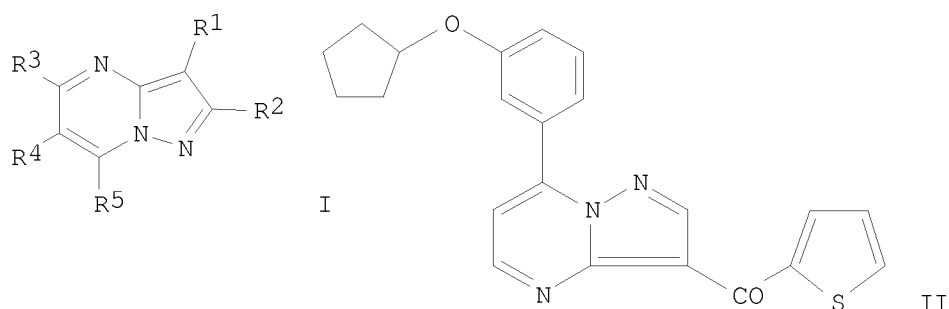


RN 879369-71-8 CAPLUS

CN Sulfamide, N,N-dimethyl-N'-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



GI



AB This invention relates to novel pyrazolo[1,5-a]pyrimidine compds. I (wherein R1 = H, cyano, halogen, carbamoyl, formyl, carboxy, C(O)O-alkyl, C(O)O-cycloalkyl, C(O)cycloalkyl, R6, C(O)R6, and C(S)R6; R6 = (un)substituted, aryl or heteroaryl; R2, R3, and R4 = H, CF3, or alkyl; R5 = (un)substituted aryl or heteroaryl) and the therapeutically acceptable salts thereof. These compds. are useful as anti-proliferative agents in mammals, including humans. The compds., their use in regulating the expression of p21 in cells, as well as a method of preparation are claimed.

For example, II is prepared from (3-amino-1H-pyrazol-4-yl)-2-thienylmethanone and 3-(dimethylamino)-1-[3-(cyclopentyloxy)phenyl]-2-propen-1-one, which in turn was prepared from 3-cyclopentyloxyacetophenone and DMF-di-Me acetal. In a cytotoxicity test against 80S14 (p21-deficient) cells, II had an IC50 in the range of 1-10 μ M.

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:612293 CAPLUS
DOCUMENT NUMBER: 143:133389
TITLE: Preparation of pyrazolopyrimidines as CRF receptor antagonists
INVENTOR(S): Luo, Zhiyong; Slee, Deborah; Tellew, John Edward; Williams, John; Zhang, Xiahou
PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., USA; Neurocrine Biosciences Inc.
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063755	A1	20050714	WO 2004-IB4234	20041220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004309168	A1	20050714	AU 2004-309168	20041220
CA 2550948	A1	20050714	CA 2004-2550948	20041220
EP 1697374	A1	20060906	EP 2004-820857	20041220
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CN 1938309	A	20070328	CN 2004-80042012	20041220
BR 2004017943	A	20070417	BR 2004-17943	20041220
JP 2007515472	T	20070614	JP 2006-546391	20041220
IN 2006DN03246	A	20070824	IN 2006-DN3246	20060606
KR 2007009537	A	20070118	KR 2006-712368	20060621
MX 2006PA07283	A	20060907	MX 2006-PA7283	20060622
NO 2006003230	A	20060912	NO 2006-3230	20060711
US 2007287705	A1	20071213	US 2007-596648	20070529
PRIORITY APPLN. INFO.:			US 2003-532031P	P 20031222
			WO 2004-IB4234	W 20041220

OTHER SOURCE(S): MARPAT 143:133389

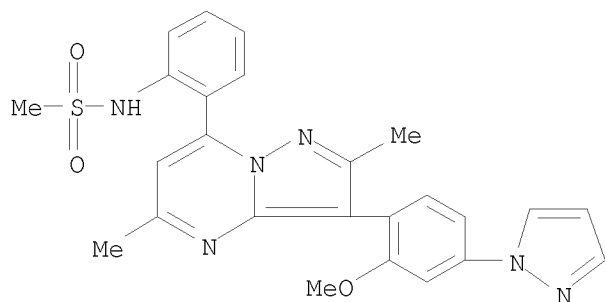
IT 859159-00-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as CRF receptor antagonists)

RN 859159-00-5 CAPLUS

CN Methanesulfonamide, N-[2-[3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, (un)substituted alkyl, heteroaryl, etc.; R2 = (un)substituted alkyl, aryl, aryloxyalkyl, etc.; R3 = H, alkyl or absent if double bond is present; Y = CO, =(CR4)-; R4 = H, thioalkyl, (un)substituted alkyl, etc.; Ar = (un)substituted Ph or pyridyl; Het = (un)substituted heteroaryl] and their pharmaceutically acceptable salts, are prepared and disclosed as CRF receptor antagonists. Thus, e.g., II was prepared by cyclization of III (preparation given) with Et acetoacetate followed

by chlorination and subsequent Suzuki coupling with 2-methoxyphenylboronic acid. The CRF receptor binding activity of I was evaluated using radioligand binding assay (no data). I as CRF receptor antagonists should prove useful in the treatment of stroke, depression and anxiety.

Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:141070 CAPLUS
DOCUMENT NUMBER: 142:240457
TITLE: Preparation of N-(pyrazolopyrimidinyl)phenyl
sulfonamides, pharmaceutical compositions, and uses as
GABAA receptor ligands and in medicaments
INVENTOR(S): Anglada, Luis; Palomer, Albert; Princep, Marta;
Guglietta, Antonio
PATENT ASSIGNEE(S): Ferrer Internacional, S. A., Spain
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014597	A1	20050217	WO 2004-EP8208	20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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ES 2222813	A1	20050201	ES 2003-1746	20030724
ES 2222813	B1	20051216		
ES 2245894	A1	20060116	ES 2004-1697	20040712
ES 2245894	B1	20061201		
AU 2004263278	A1	20050217	AU 2004-263278	20040722
CA 2532437	A1	20050217	CA 2004-2532437	20040722
EP 1648897	A1	20060426	EP 2004-741223	20040722
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CN 1829719	A	20060906	CN 2004-80021453	20040722
BR 2004012826	A	20060926	BR 2004-12826	20040722
JP 2006528608	T	20061221	JP 2006-520796	20040722
IN 2005KN02638	A	20070330	IN 2005-KN2638	20051220
MX 2006PA00754	A	20060330	MX 2006-PA754	20060119
NO 2006000580	A	20060203	NO 2006-580	20060203
US 2006270690	A1	20061130	US 2006-562559	20060530
PRIORITY APPLN. INFO.:			ES 2003-1746	A 20030724
			ES 2004-1697	A 20040712
			WO 2004-EP8208	W 20040722

OTHER SOURCE(S): CASREACT 142:240457; MARPAT 142:240457

IT 844679-39-6P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylmethanesulfonamide 844679-40-9P, N-Methyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844679-43-2P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylmethanesulfonamide 844679-44-3P, N-Ethyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844679-47-6P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylbenzenesulfonamide 844679-48-7P, N-Methyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]benzenesulfonamide

844679-51-2P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylbenzenesulfonamide 844679-52-3P, N-Ethyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]benzenesulfonamide 844679-92-1P, N-(2-Propinyl)-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844679-93-2P, N-Propyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844679-94-3P, N-Ethyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844679-95-4P, N-(2-Propinyl)-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-2-propanesulfonamide 844679-96-5P, N-Methyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844679-97-6P, N-Butyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844679-99-8P, N-Methyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-2-propanesulfonamide 844680-00-8P, N-Ethyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-2-propanesulfonamide 844680-01-9P, N-Propyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-2-propanesulfonamide 844680-02-0P, N-Butyl-N-[3-[3-[(thien-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-2-propanesulfonamide 844680-03-1P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-(2-propinyl)methanesulfonamide 844680-04-2P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propylethanesulfonamide 844680-05-3P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylethanesulfonamide 844680-06-4P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-(2-propinyl)propane-2-sulfonamide 844680-07-5P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-butylethanesulfonamide 844680-09-7P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methyl-2-propanesulfonamide 844680-10-0P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethyl-2-propanesulfonamide 844680-11-1P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-butyl-2-propanesulfonamide 844680-12-2P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propyl-2-propanesulfonamide 844680-13-3P, N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-(2-propinyl)ethanesulfonamide 844680-14-4P, N-Methyl-N-[3-[3-[(pyridin-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844680-15-5P, N-Ethyl-N-[3-[3-[(pyridin-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844680-16-6P, N-(2-Propinyl)-N-[3-[3-[(pyridin-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844680-18-8P, N-Methyl-N-[3-[3-[(pyridin-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844680-20-2P, N-Ethyl-N-[3-[3-[(pyridin-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844680-22-4P, N-(2-Propinyl)-N-[3-[3-[(pyridin-2-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844680-23-5P, N-Methyl-N-[3-[3-[(pyridin-4-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844680-24-6P, N-Ethyl-N-[3-[3-[(pyridin-4-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844680-25-7P, N-Methyl-N-[3-[3-[(pyridin-4-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844680-26-8P, N-Ethyl-N-[3-[3-[(pyridin-4-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844680-27-9P, N-(2-Propinyl)-N-[3-[3-[(pyridin-4-yl)carbonyl]pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]ethanesulfonamide 844680-28-0P, N-(2-Propinyl)-N-[3-[3-

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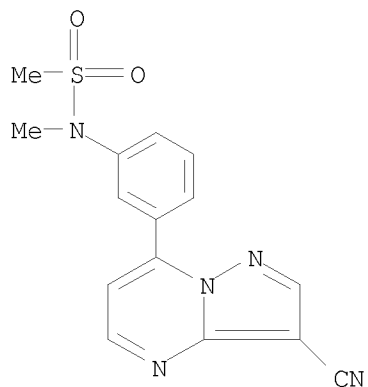
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 N-[3-[3-(5-Methyl-[1,2,4]oxadiazol-3-yl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]methanesulfonamide 844680-79-1P, N-Ethyl-N-[3-[3-(5-
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (pyrazolopyrimidinyl)phenyl sulfonamides as GABAA receptor ligands)

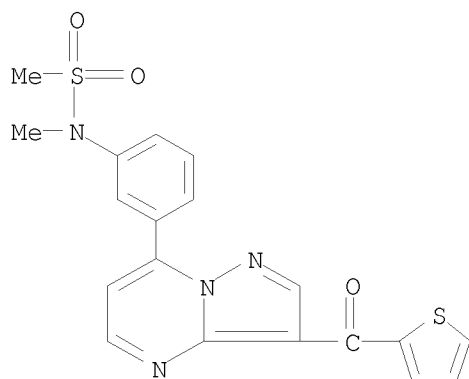
RN 844679-39-6 CAPLUS

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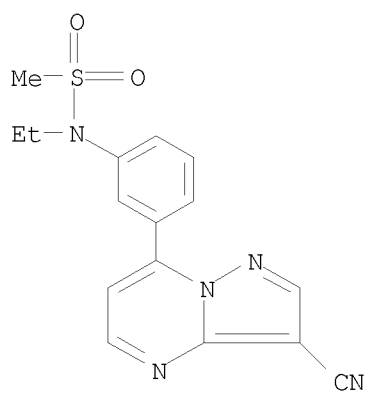
RN 844679-40-9 CAPLUS

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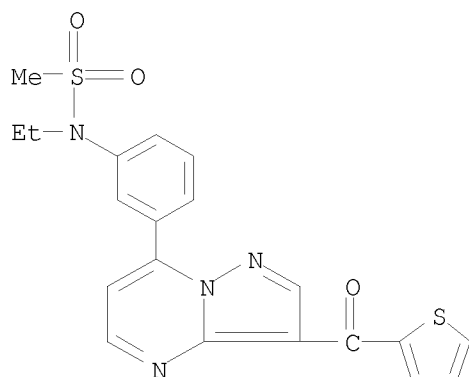
RN 844679-43-2 CAPLUS

CN Methanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethyl- (CA INDEX NAME)



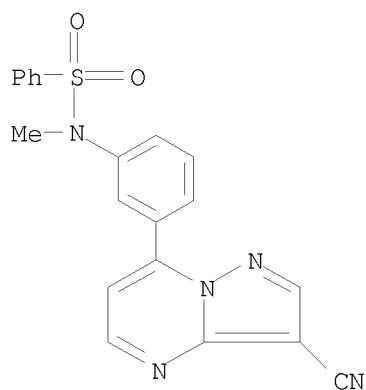
RN 844679-44-3 CAPLUS

CN Methanesulfonamide, N-ethyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



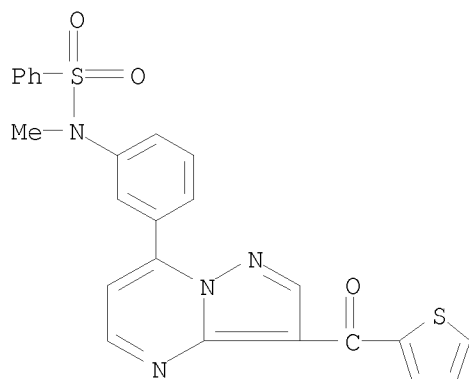
RN 844679-47-6 CAPLUS

CN Benzenesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methyl- (CA INDEX NAME)

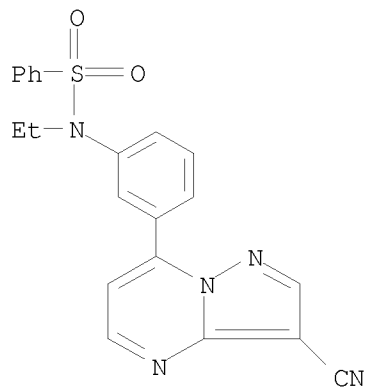


RN 844679-48-7 CAPLUS

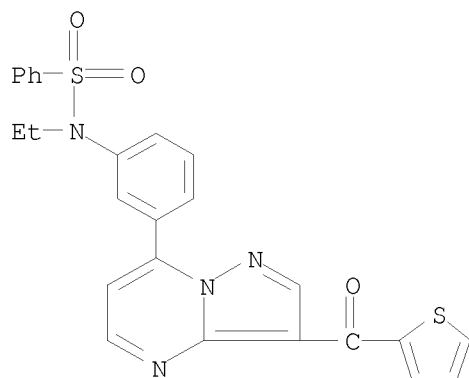
CN Benzenesulfonamide, N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



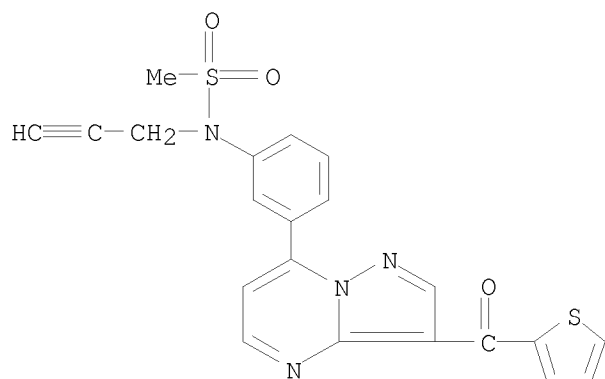
RN 844679-51-2 CAPLUS
 CN Benzenesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethyl- (CA INDEX NAME)



RN 844679-52-3 CAPLUS
 CN Benzenesulfonamide, N-ethyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)

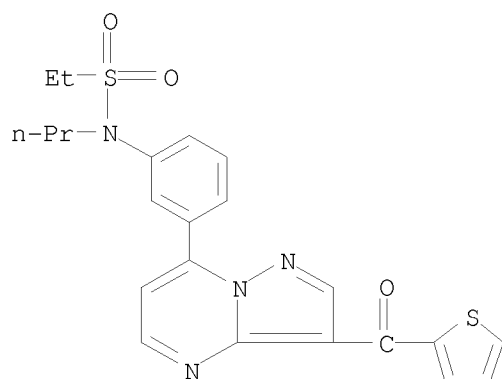


RN 844679-92-1 CAPLUS
 CN Methanesulfonamide, N-2-propynyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (9CI) (CA INDEX NAME)



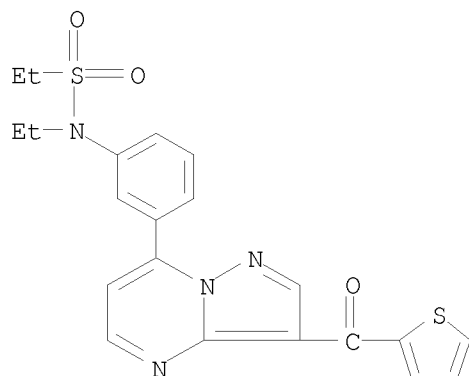
RN 844679-93-2 CAPLUS

CN Ethanesulfonamide, N-propyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



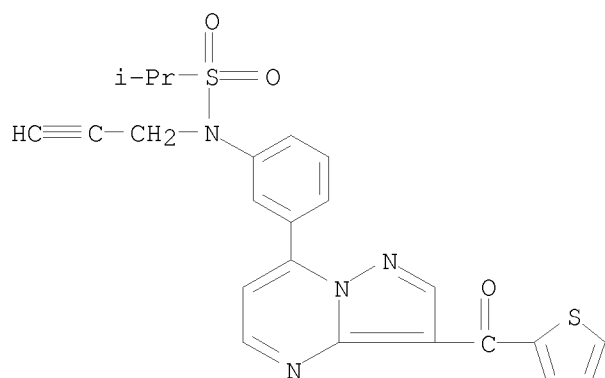
RN 844679-94-3 CAPLUS

CN Ethanesulfonamide, N-ethyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



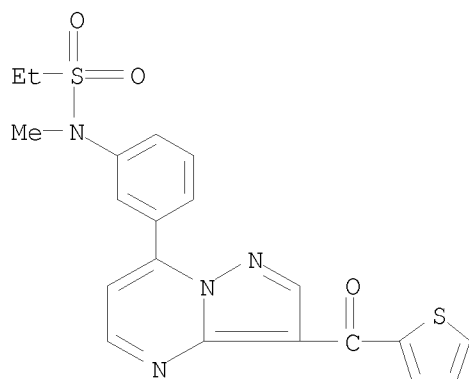
RN 844679-95-4 CAPLUS

CN 2-Propanesulfonamide, N-2-propynyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (9CI) (CA INDEX NAME)



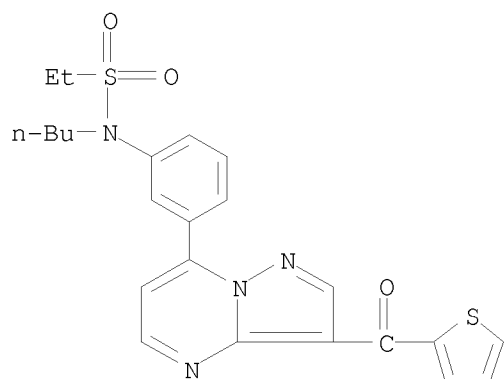
RN 844679-96-5 CAPLUS

CN Ethanesulfonamide, N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



RN 844679-97-6 CAPLUS

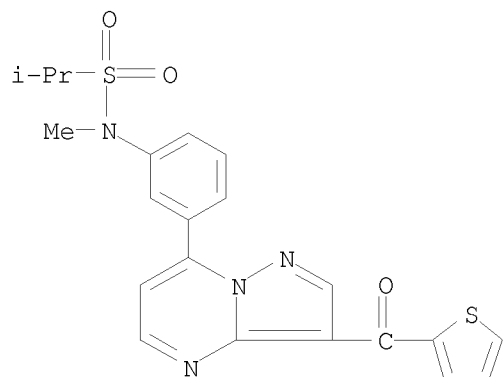
CN Ethanesulfonamide, N-butyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



RN 844679-99-8 CAPLUS

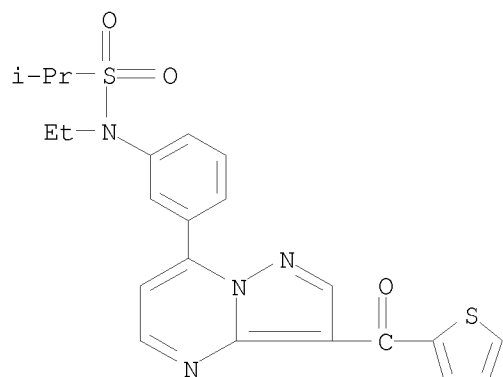
CN 2-Propanesulfonamide, N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)

a[pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



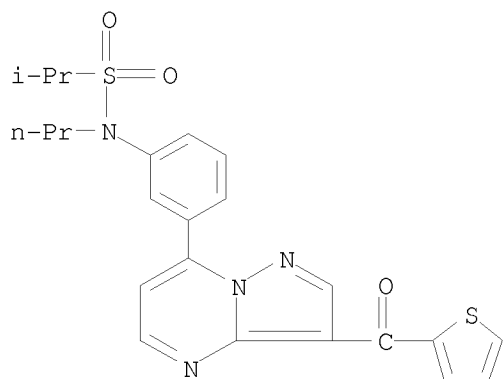
RN 844680-00-8 CAPLUS

CN 2-Propanesulfonamide, N-ethyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



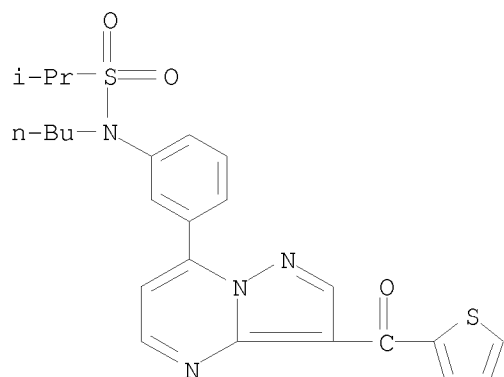
RN 844680-01-9 CAPLUS

CN 2-Propanesulfonamide, N-propyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



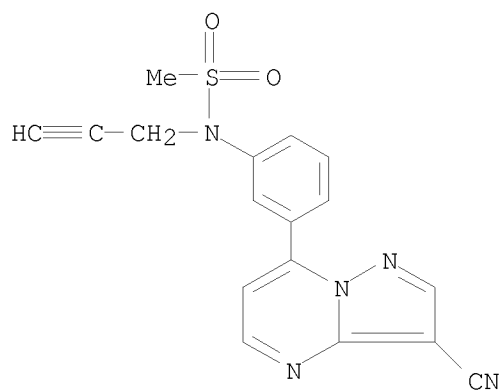
RN 844680-02-0 CAPLUS

CN 2-Propanesulfonamide, N-butyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



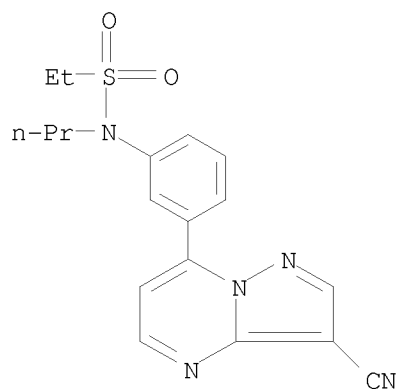
RN 844680-03-1 CAPLUS

CN Methanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



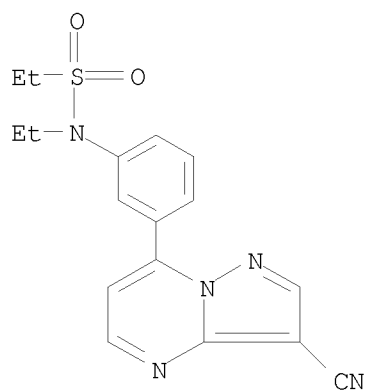
RN 844680-04-2 CAPLUS

CN Ethanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propyl- (CA INDEX NAME)



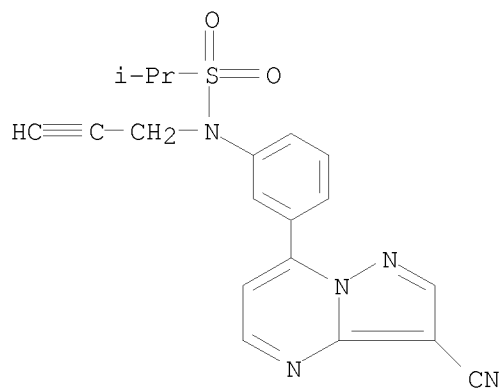
RN 844680-05-3 CAPLUS

CN Ethanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethyl- (CA INDEX NAME)



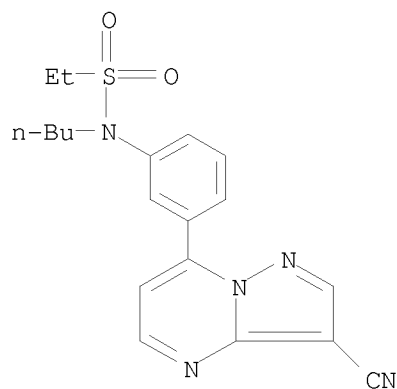
RN 844680-06-4 CAPLUS

CN 2-Propanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



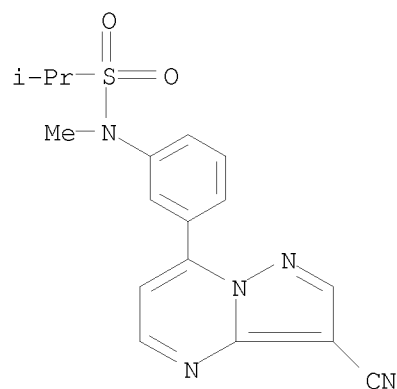
RN 844680-07-5 CAPLUS

CN Ethanesulfonamide, N-butyl-N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



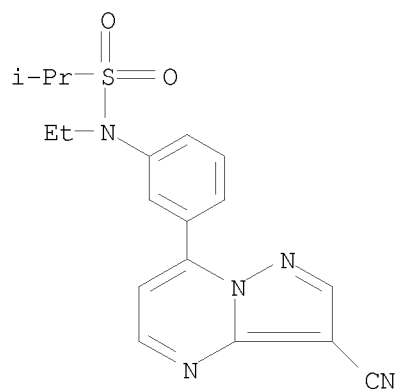
RN 844680-09-7 CAPLUS

CN 2-Propanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methyl- (CA INDEX NAME)



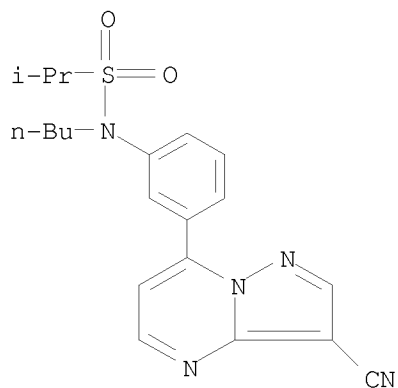
RN 844680-10-0 CAPLUS

CN 2-Propanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethyl- (CA INDEX NAME)



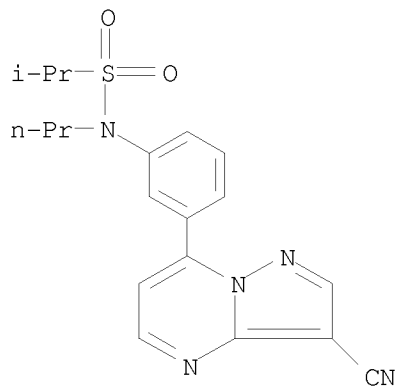
RN 844680-11-1 CAPLUS

CN 2-Propanesulfonamide, N-butyl-N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



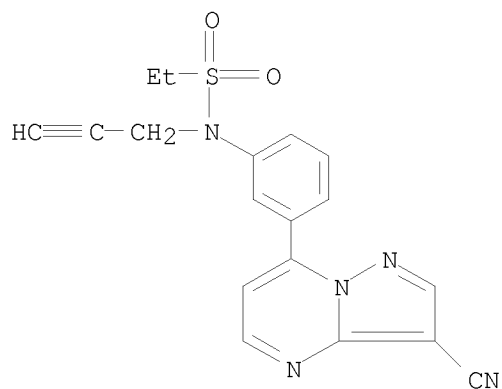
RN 844680-12-2 CAPLUS

CN 2-Propanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propyl- (CA INDEX NAME)



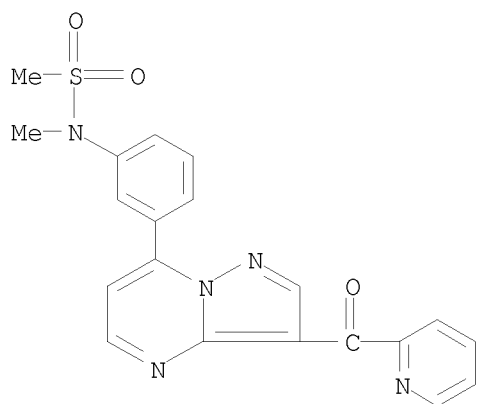
RN 844680-13-3 CAPLUS

CN Ethanesulfonamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



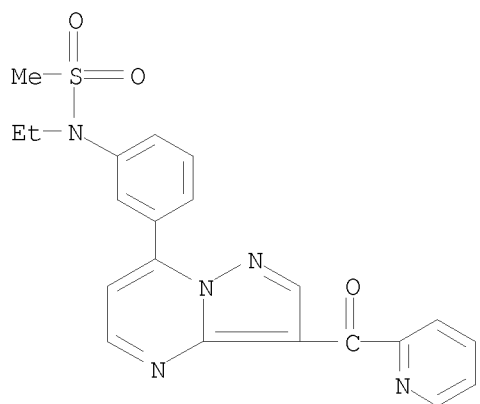
RN 844680-14-4 CAPLUS

CN Methanesulfonamide, N-methyl-N-[3-[3-(2-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



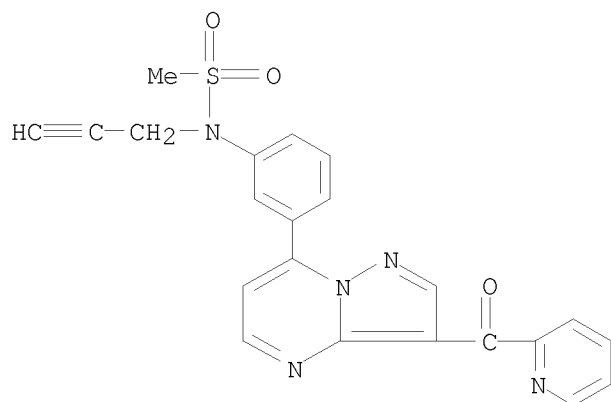
RN 844680-15-5 CAPLUS

CN Methanesulfonamide, N-ethyl-N-[3-[3-(2-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



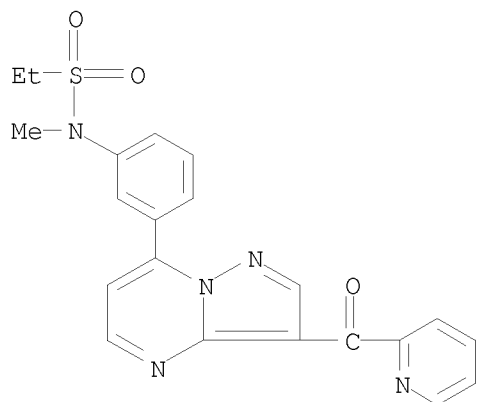
RN 844680-16-6 CAPLUS

CN Methanesulfonamide, N-2-propynyl-N-[3-[3-(2-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (9CI) (CA INDEX NAME)



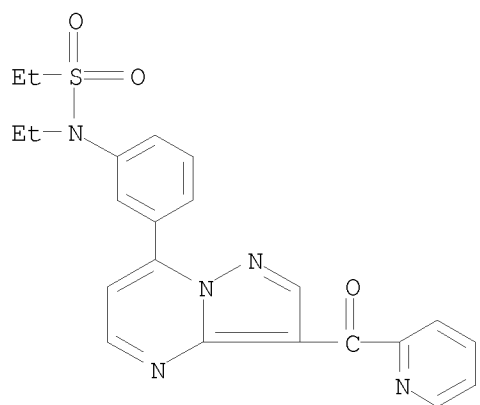
RN 844680-18-8 CAPLUS

CN Ethanesulfonamide, N-methyl-N-[3-[3-(2-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



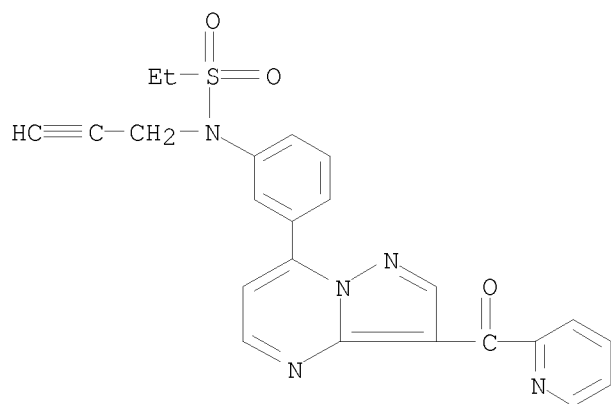
RN 844680-20-2 CAPLUS

CN Ethanesulfonamide, N-ethyl-N-[3-[3-(2-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



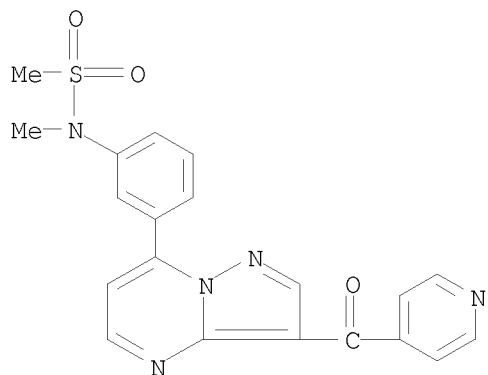
RN 844680-22-4 CAPLUS

CN Ethanesulfonamide, N-2-propynyl-N-[3-[3-(2-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (9CI) (CA INDEX NAME)



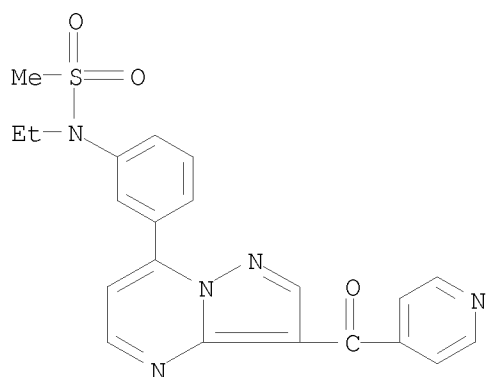
RN 844680-23-5 CAPLUS

CN Methanesulfonamide, N-methyl-N-[3-[3-(4-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



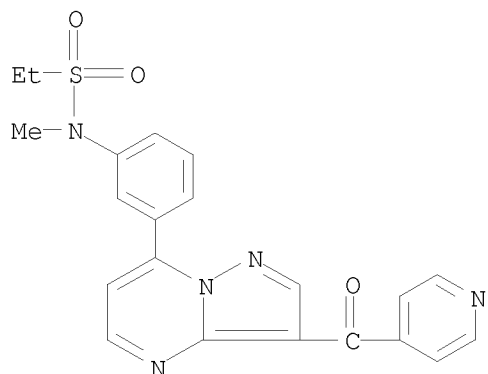
RN 844680-24-6 CAPLUS

CN Methanesulfonamide, N-ethyl-N-[3-[3-(4-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



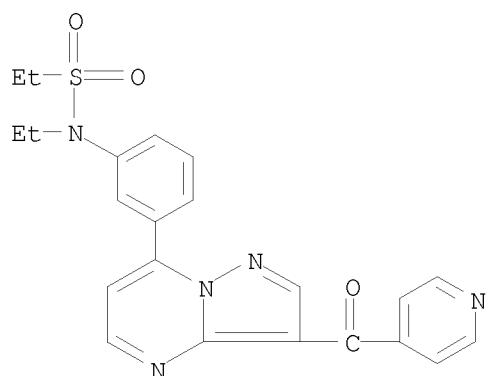
RN 844680-25-7 CAPLUS

CN Ethanesulfonamide, N-methyl-N-[3-[3-(4-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



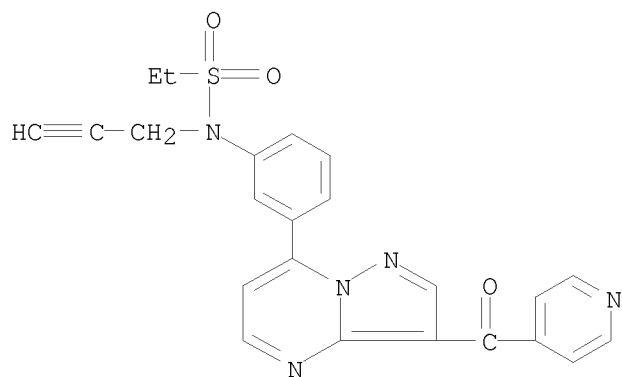
RN 844680-26-8 CAPLUS

CN Ethanesulfonamide, N-ethyl-N-[3-[3-(4-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



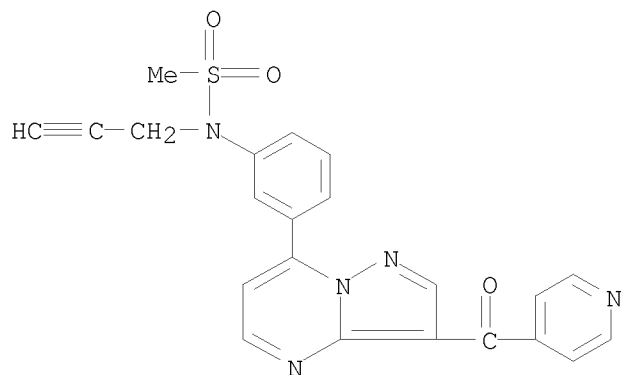
RN 844680-27-9 CAPLUS

CN Ethanesulfonamide, N-2-propynyl-N-[3-[3-(4-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 844680-28-0 CAPLUS

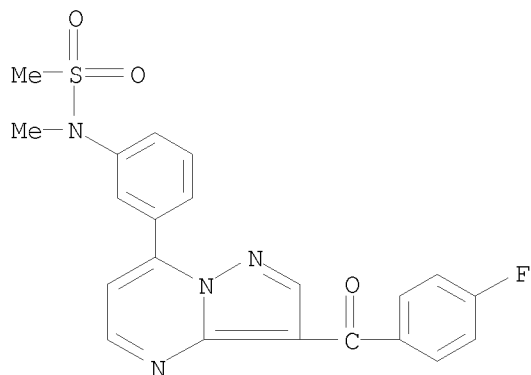
CN Methanesulfonamide, N-2-propynyl-N-[3-[3-(4-pyridinylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 844680-29-1 CAPLUS

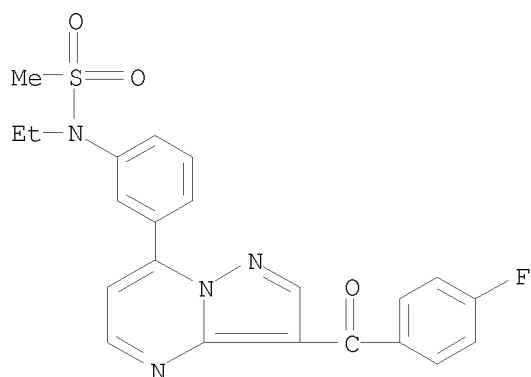
CN Methanesulfonamide, N-[3-[3-(4-fluorobenzoyl)pyrazolo[1,5-a]pyrimidin-7-

yl]phenyl]-N-methyl- (CA INDEX NAME)



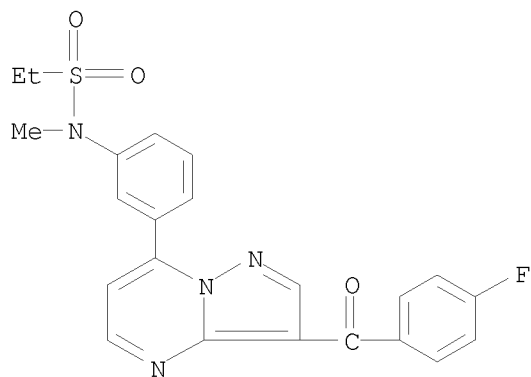
RN 844680-30-4 CAPLUS

CN Methanesulfonamide, N-ethyl-N-[3-[3-(4-fluorobenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



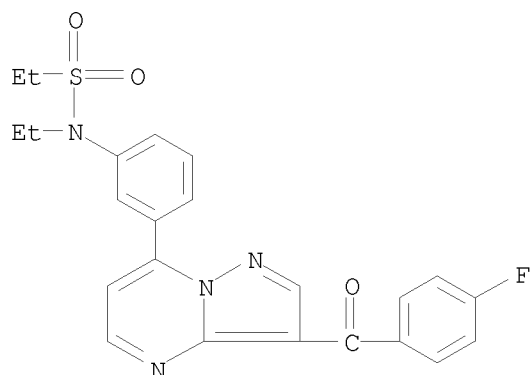
RN 844680-31-5 CAPLUS

CN Ethanesulfonamide, N-[3-[3-(4-fluorobenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-methyl- (CA INDEX NAME)



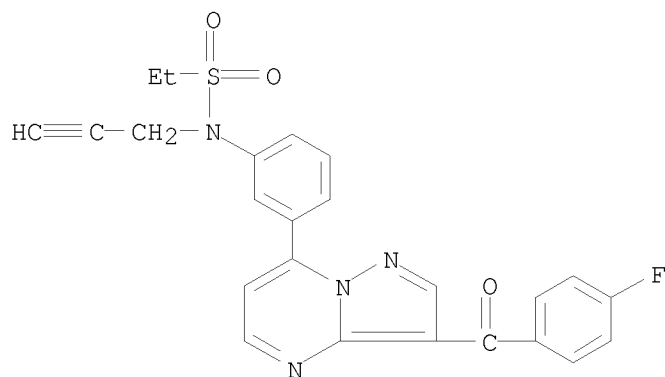
RN 844680-32-6 CAPLUS

CN Ethanesulfonamide, N-ethyl-N-[3-[3-(4-fluorobenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



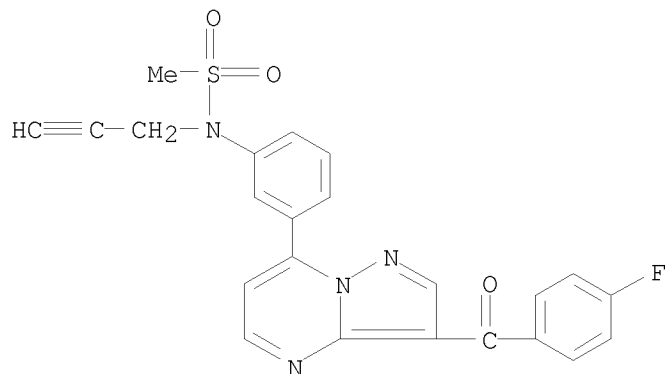
RN 844680-33-7 CAPLUS

CN Ethanesulfonamide, N-[3-[3-(4-fluorobenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



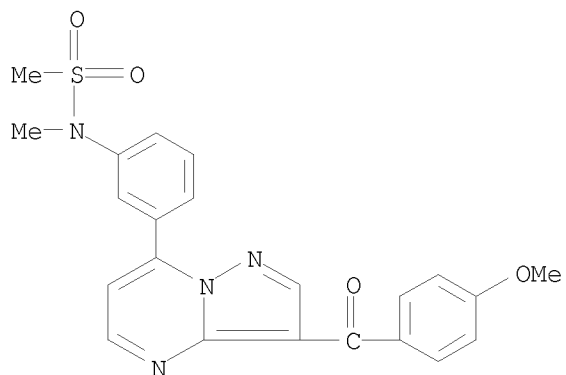
RN 844680-34-8 CAPLUS

CN Methanesulfonamide, N-[3-[3-(4-fluorobenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



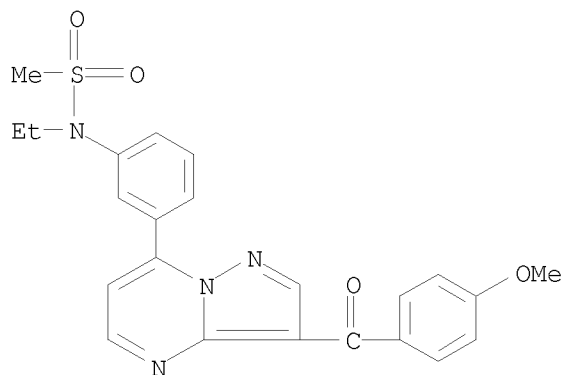
RN 844680-35-9 CAPLUS

CN Methanesulfonamide, N-[3-[3-(4-methoxybenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-methyl- (CA INDEX NAME)



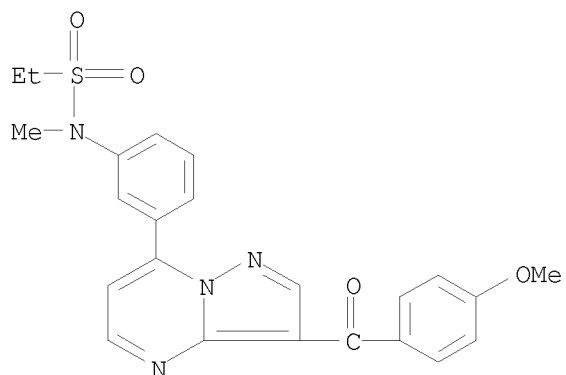
RN 844680-36-0 CAPLUS

CN Methanesulfonamide, N-ethyl-N-[3-[3-(4-methoxybenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)

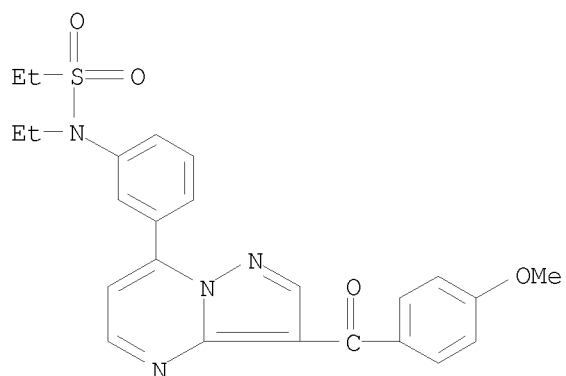


RN 844680-37-1 CAPLUS

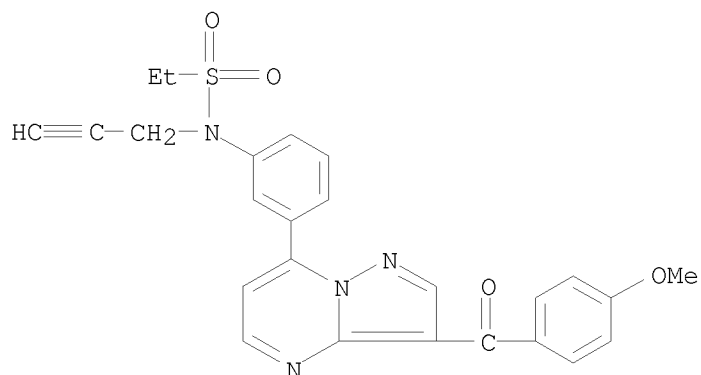
CN Ethanesulfonamide, N-[3-[3-(4-methoxybenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-methyl- (CA INDEX NAME)



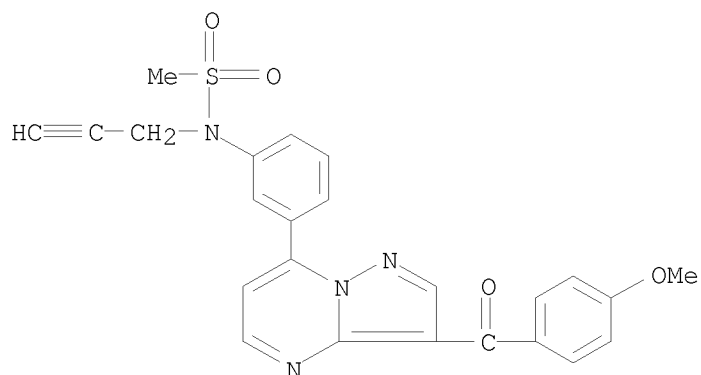
RN 844680-38-2 CAPLUS
 CN Ethanesulfonamide, N-ethyl-N-[3-[3-(4-methoxybenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



RN 844680-39-3 CAPLUS
 CN Ethanesulfonamide, N-[3-[3-(4-methoxybenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)

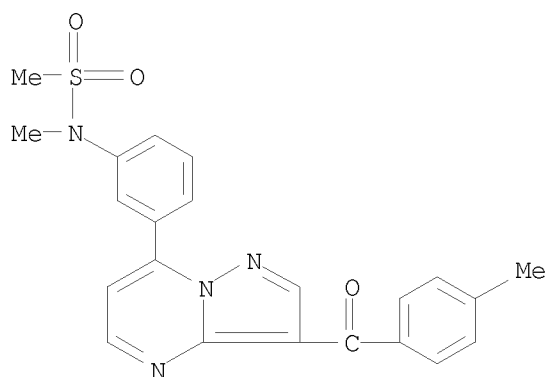


RN 844680-40-6 CAPLUS
 CN Methanesulfonamide, N-[3-[3-(4-methoxybenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



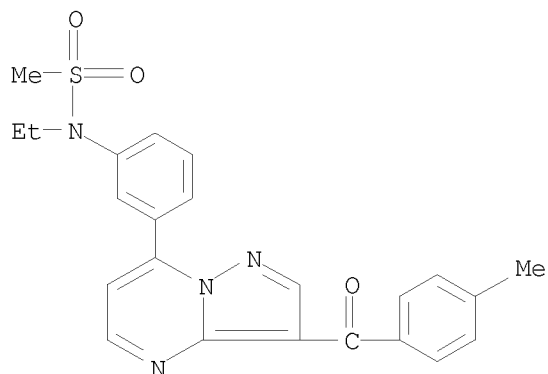
RN 844680-41-7 CAPLUS

CN Methanesulfonamide, N-methyl-N-[3-[3-(4-methylbenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



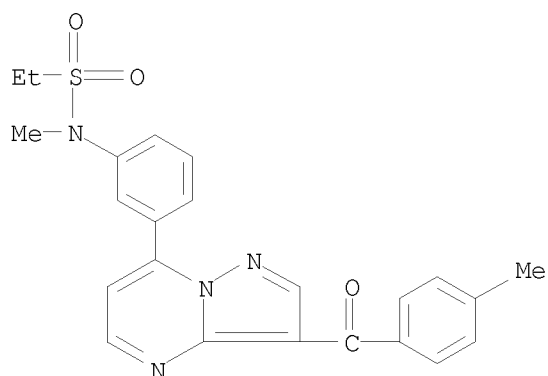
RN 844680-42-8 CAPLUS

CN Methanesulfonamide, N-ethyl-N-[3-[3-(4-methylbenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



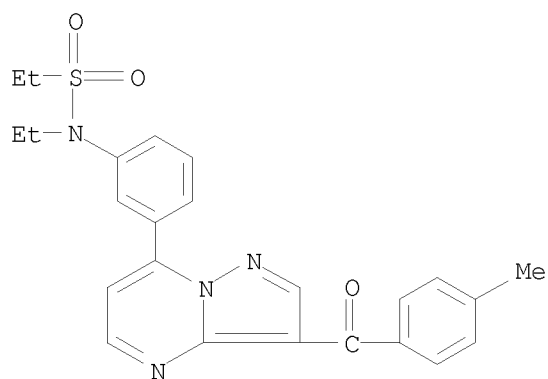
RN 844680-43-9 CAPLUS

CN Ethanesulfonamide, N-methyl-N-[3-[3-(4-methylbenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



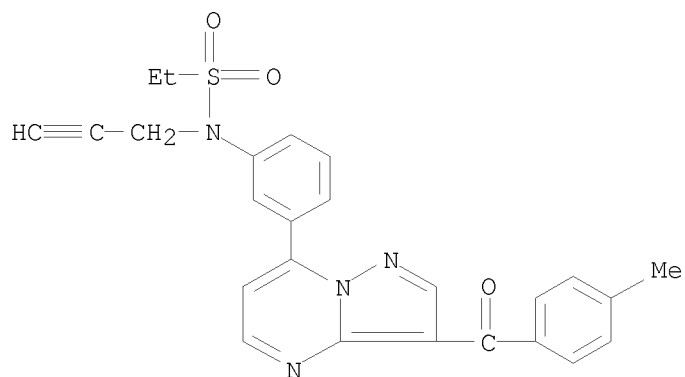
RN 844680-44-0 CAPLUS

CN Ethanesulfonamide, N-ethyl-N-[3-[3-(4-methylbenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



RN 844680-45-1 CAPLUS

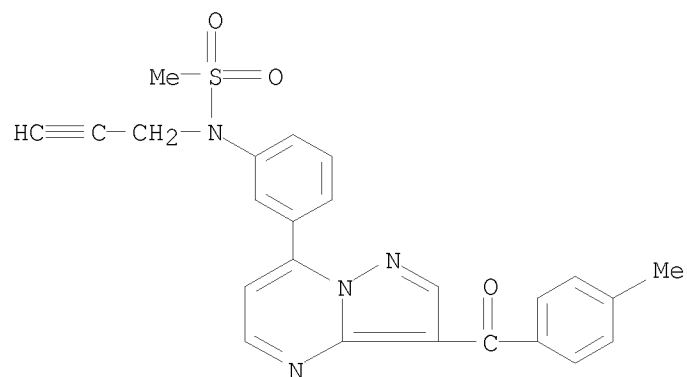
CN Ethanesulfonamide, N-[3-[3-(4-methylbenzoyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 844680-46-2 CAPLUS

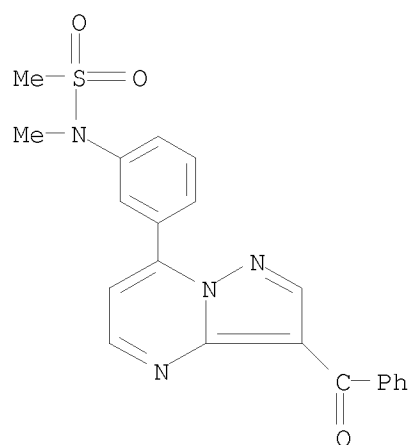
CN Methanesulfonamide, N-[3-[3-(4-methylbenzoyl)pyrazolo[1,5-a]pyrimidin-7-

yl]phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



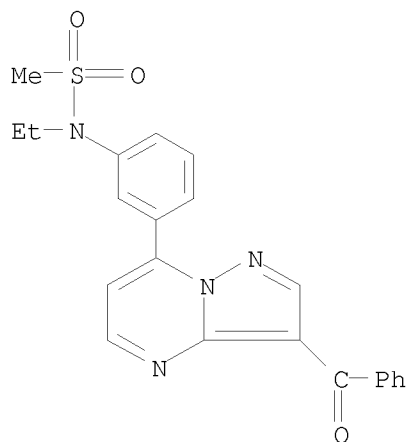
RN 844680-47-3 CAPLUS

CN Methanesulfonamide, N-[3-(3-benzoylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methyl- (CA INDEX NAME)



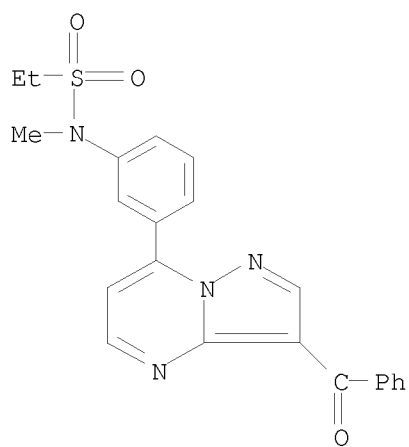
RN 844680-48-4 CAPLUS

CN Methanesulfonamide, N-[3-(3-benzoylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethyl- (CA INDEX NAME)



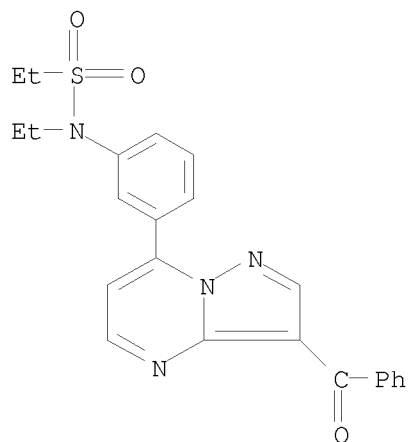
RN 844680-49-5 CAPLUS

CN Ethanesulfonamide, N-[3-(3-benzoylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methyl- (CA INDEX NAME)



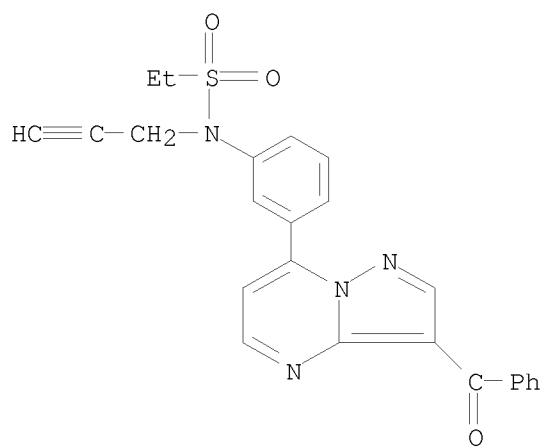
RN 844680-50-8 CAPLUS

CN Ethanesulfonamide, N-[3-(3-benzoylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethyl- (CA INDEX NAME)



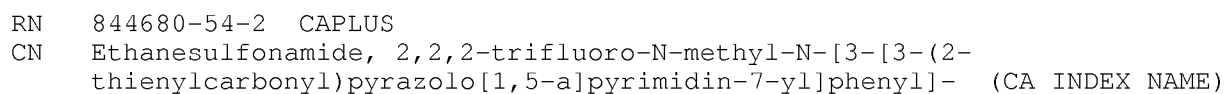
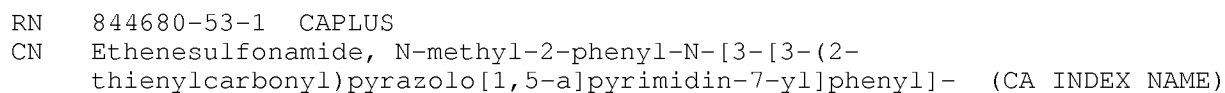
RN 844680-51-9 CAPLUS

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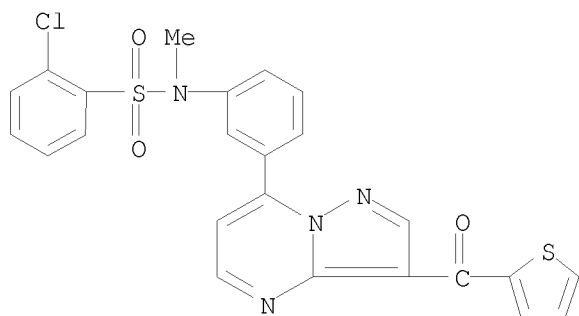


RN 844680-52-0 CAPLUS

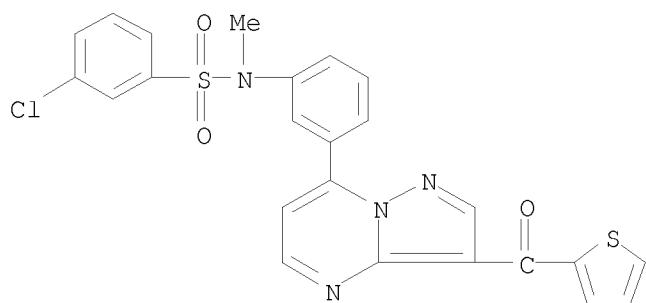
CN Methanesulfonamide, N-[3-(3-benzoylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



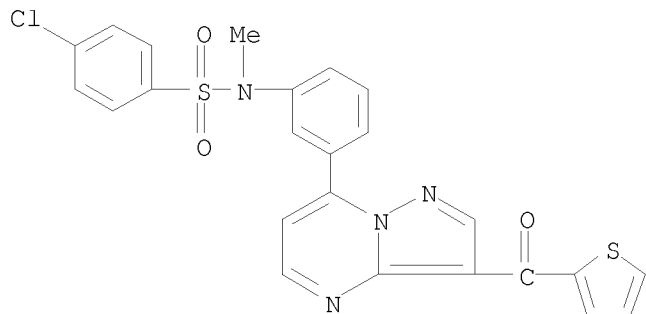
RN 844680-55-3 CAPLUS
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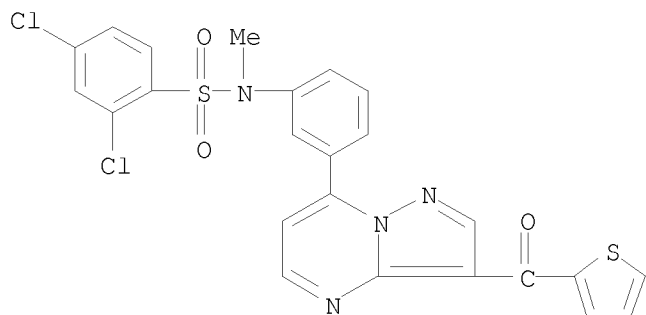
RN 844680-56-4 CAPLUS
 CN Benzenesulfonamide, 3-chloro-N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



RN 844680-57-5 CAPLUS
 CN Benzenesulfonamide, 4-chloro-N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)

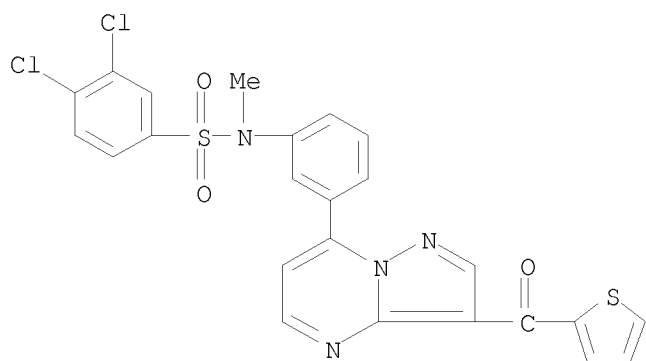


RN 844680-58-6 CAPLUS
 CN Benzenesulfonamide, 2,4-dichloro-N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



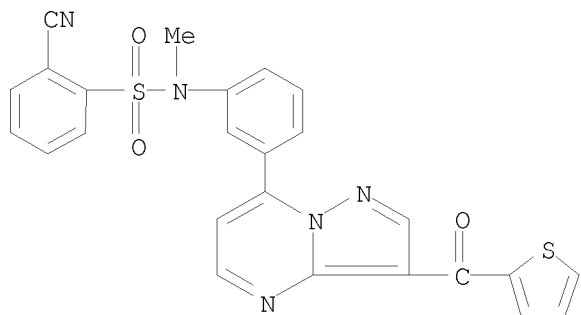
RN 844680-59-7 CAPLUS

CN Benzenesulfonamide, 3,4-dichloro-N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



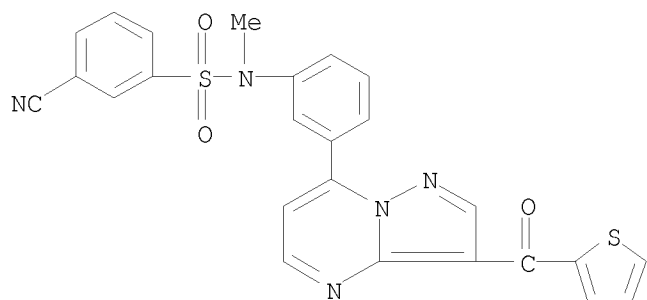
RN 844680-60-0 CAPLUS

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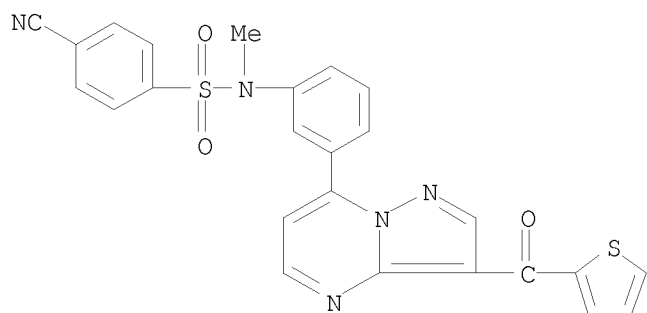


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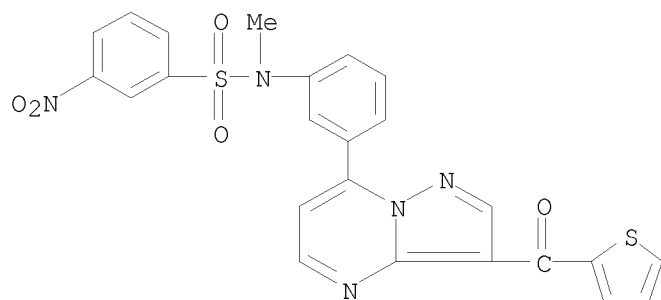
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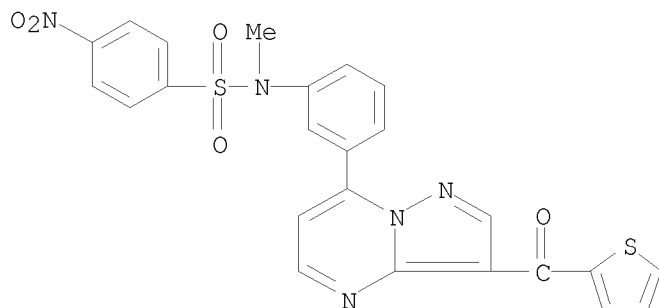
RN 844680-62-2 CAPLUS
 CN Benzenesulfonamide, 4-cyano-N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



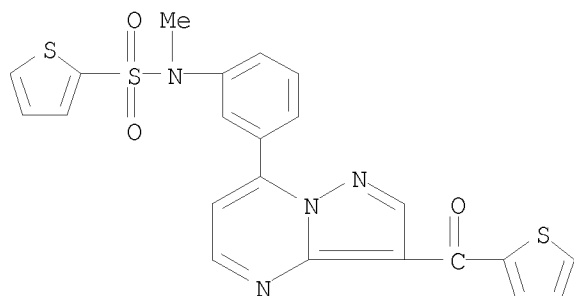
RN 844680-63-3 CAPLUS
 CN Benzenesulfonamide, N-methyl-3-nitro-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



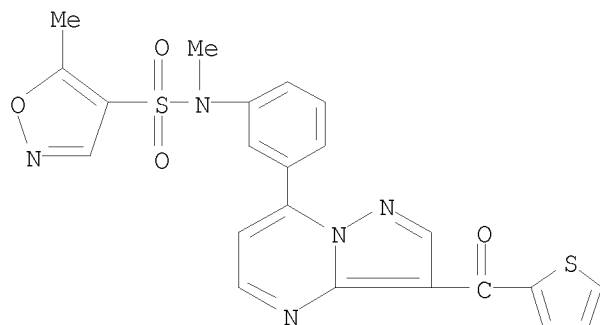
RN 844680-64-4 CAPLUS
 CN Benzenesulfonamide, N-methyl-4-nitro-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



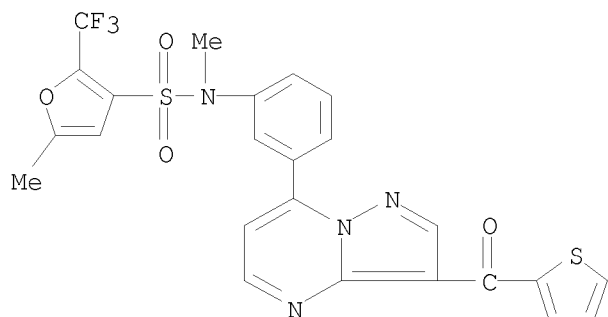
RN 844680-65-5 CAPLUS
 CN 2-Thiophenesulfonamide, N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



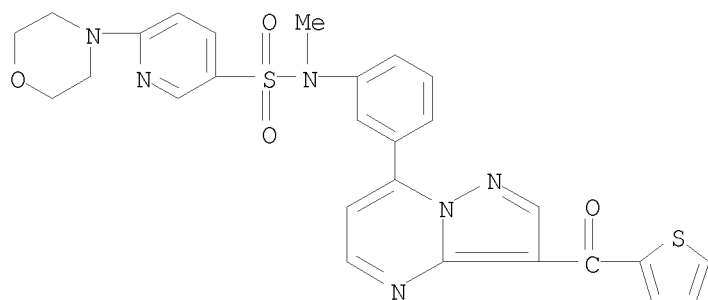
RN 844680-66-6 CAPLUS
 CN 4-Isoxazolesulfonamide, N,5-dimethyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



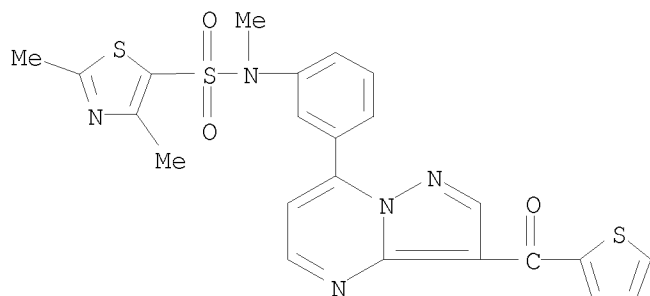
RN 844680-67-7 CAPLUS
 CN 3-Furansulfonamide, N,5-dimethyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)



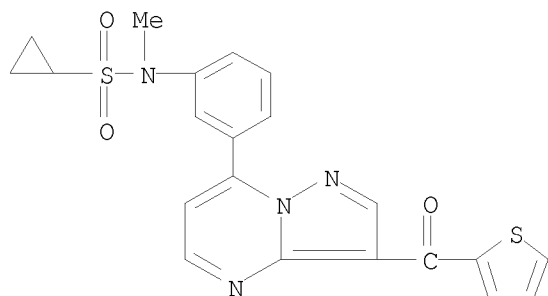
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 CN 3-Pyridinesulfonamide, N-methyl-6-(4-morpholinyl)-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



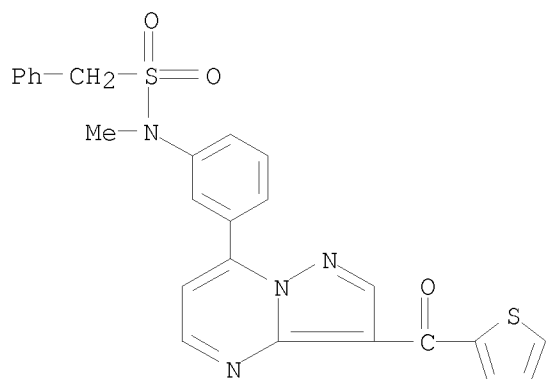
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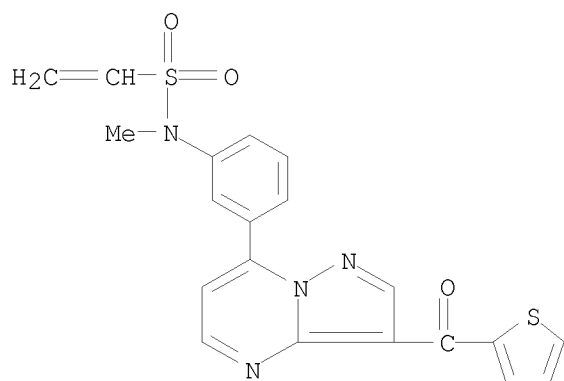
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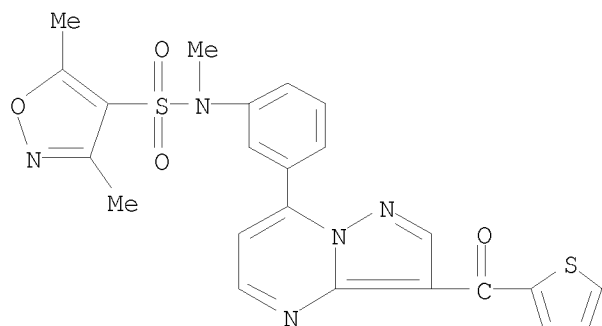
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 CN Benzenemethanesulfonamide, N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



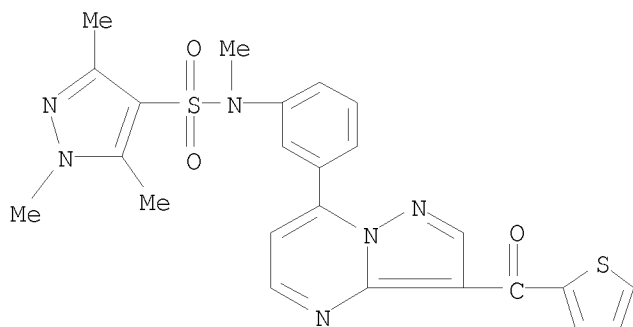
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 CN Ethenesulfonamide, N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



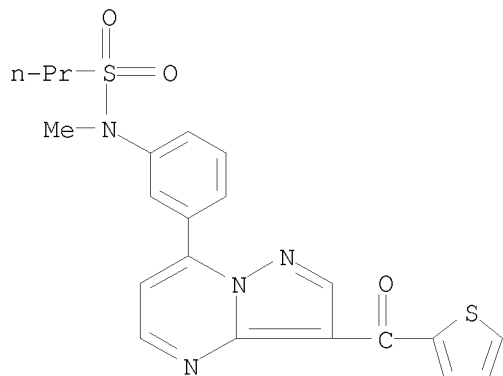
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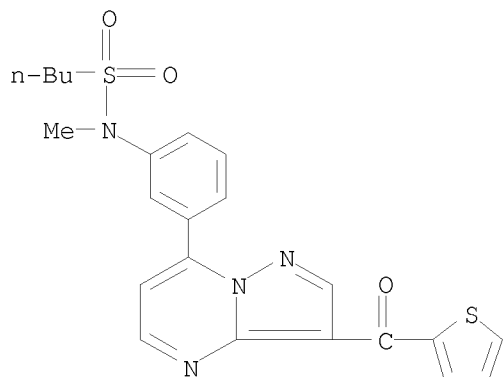
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 CN 1H-Pyrazole-4-sulfonamide, N,1,3,5-tetramethyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



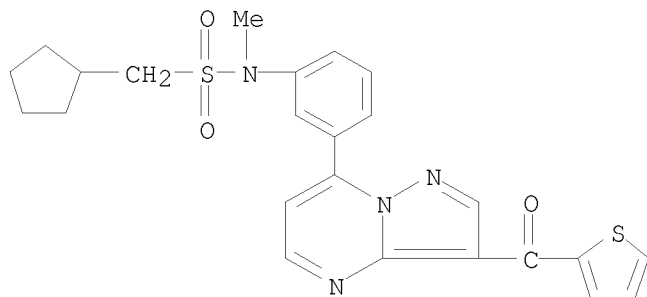
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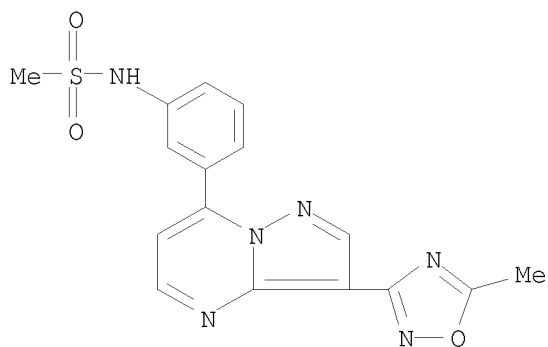
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 CN 1-Butanesulfonamide, N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



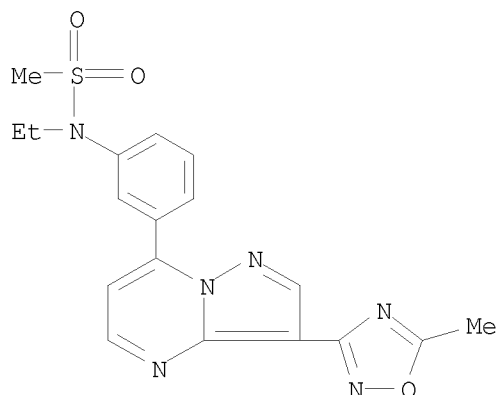
RN 844680-77-9 CAPLUS
 CN Cyclopentanemethanesulfonamide, N-methyl-N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



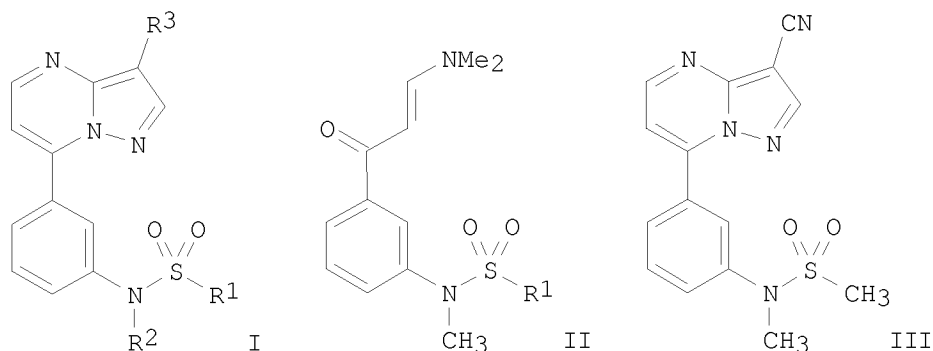
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 CN Methanesulfonamide, N-[3-[3-(5-methyl-1,2,4-oxadiazol-3-yl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



RN 844680-79-1 CAPLUS
 CN Methanesulfonamide, N-ethyl-N-[3-[3-(5-methyl-1,2,4-oxadiazol-3-yl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



GI



AB Title compds. I [wherein R1 = alkyl, alkenyl, cycloalkyl alkoxy, (di)alkylamino, (un)substituted Ph or certain heterocyclyl; R2 = H, alk(en/yn)yl or cycloalkyl; R1 and R2 may form a ring; R3 = H, halo, alk(en/yn)yl, cycloalkyl, alkoxy, cyano, (un)substituted sulfonyl, carbonyl, amino, Ph or heteroaryl; with two compds. excluded, and pharmaceutically acceptable salts thereof] were prepared as GABAA receptor ligands. Also disclosed are pharmaceutical compns. of I, and processes for the preparation of I and their precursors II. For example, condensation of N-(3-acetylphenyl)-N-methylmethanesulfonamide with N,N-dimethylformamide dimethylacetal gave II (R1 = CH3) in 89% yield. This intermediate underwent cyclization with (4-cyano-1H-pyrazol-3-yl)amine to afford III in 71% yield, which showed specific affinity for α 1- and α 2-GABAA receptor with Ki values of 74.5 nM and 831.3 nM, resp., and had 71.39% inhibition of motor activity in the predictive sedation-hypnosis test in mice. Therefore, I and pharmaceutical compns. thereof are useful in the treatment and prevention of diseases modulated by the α 1- and α 2-GABAA receptors, such as anxiety, epilepsy and sleep disorders.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:141069 CAPLUS
DOCUMENT NUMBER: 142:240456
TITLE: Preparation of 7-substituted-3-nitropyrázolo[1,5-a]pyrimidines, pharmaceutical compositions, and uses as ligands of GABAA receptors and in medicaments
INVENTOR(S): Anglada, Luis; Palomer, Albert; Princep, Marta; Guglietta, Antonio
PATENT ASSIGNEE(S): Ferrer Internacional, S. A., Spain
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014596	A1	20050217	WO 2004-EP8207	20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2222814	A1	20050201	ES 2003-1747	20030724
ES 2222814	B1	20051201		
ES 2245893	A1	20060116	ES 2004-1696	20040712
ES 2245893	B1	20061201		
TW 252851	B	20060411	TW 2004-93121112	20040715
AU 2004263277	A1	20050217	AU 2004-263277	20040722
CA 2532431	A1	20050217	CA 2004-2532431	20040722
EP 1648896	A1	20060426	EP 2004-741222	20040722
EP 1648896	B1	20080213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1829720	A	20060906	CN 2004-80021467	20040722
BR 2004012837	A	20060926	BR 2004-12837	20040722
JP 2006528607	T	20061221	JP 2006-520795	20040722
IN 2005KN02642	A	20061020	IN 2005-KN2642	20051220
MX 2006PA00774	A	20060418	MX 2006-PA774	20060120
NO 2006000586	A	20060206	NO 2006-586	20060206
US 2007043064	A1	20070222	US 2006-563104	20060707
PRIORITY APPLN. INFO.:			ES 2003-1747	A 20030724
			ES 2004-1696	A 20040712
			WO 2004-EP8207	W 20040722

OTHER SOURCE(S): CASREACT 142:240456; MARPAT 142:240456

IT 845297-64-5P, N-Ethyl-N-[3-(3-nitropyrázolo[1,5-a]pyrimidin-7-yl)phenyl]methanesulfonamide 845297-65-6P, N-Ethyl-N-[3-(3-nitropyrázolo[1,5-a]pyrimidin-7-yl)phenyl]-4-methoxybenzenesulfonamide 845297-67-8P, N-Ethyl-N-[3-(3-nitropyrázolo[1,5-a]pyrimidin-7-yl)phenyl]benzenesulfonamide 845297-68-9P, N-Methyl-N-[3-(3-nitropyrázolo[1,5-a]pyrimidin-7-yl)phenyl]methanesulfonamide 845297-69-0P, N-Butyl-N-[3-(3-nitropyrázolo[1,5-a]pyrimidin-7-

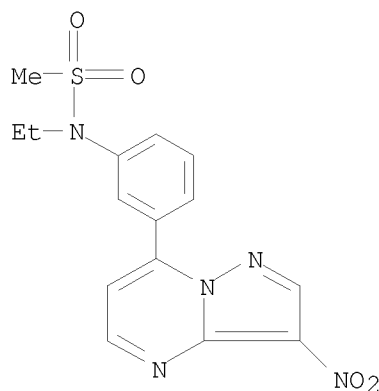
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845297-97-4P, N-[3-(3-Nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-
propylpropane-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of pyrazolopyrimidines as ligands of GABAA
receptors)

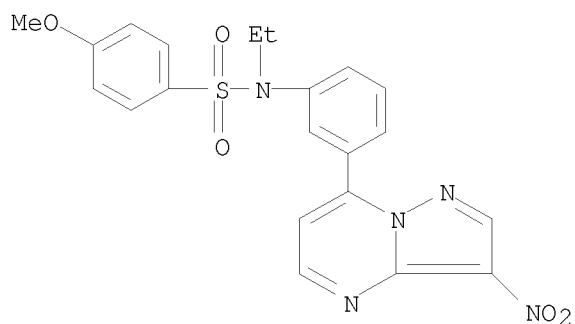
RN 845297-64-5 CAPLUS

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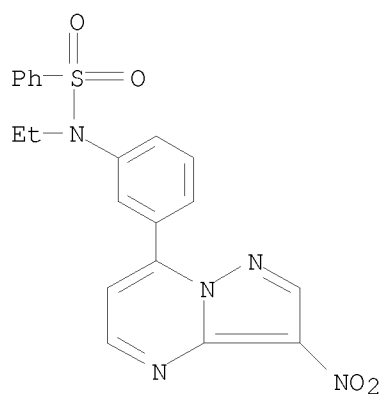


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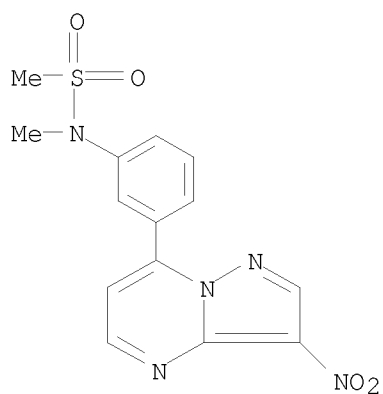
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a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



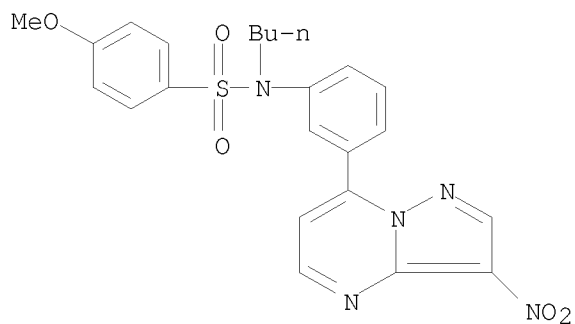
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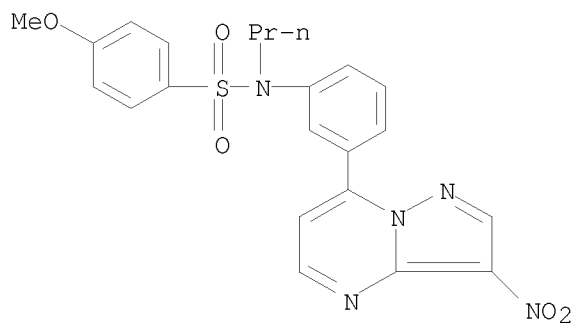
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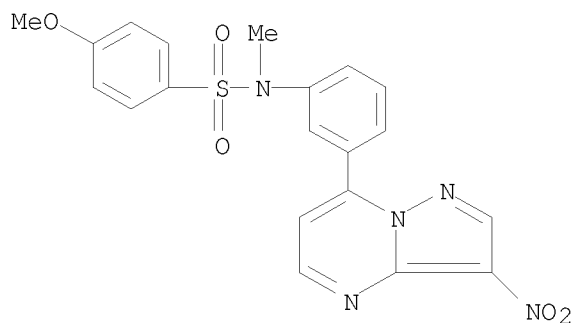
RN 845297-69-0 CAPLUS
 CN Benzenesulfonamide, N-butyl-4-methoxy-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



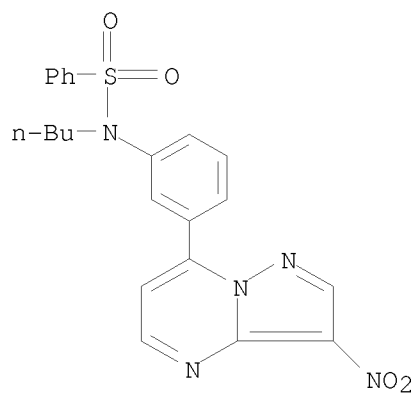
RN 845297-71-4 CAPLUS
 CN Benzenesulfonamide, 4-methoxy-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propyl- (CA INDEX NAME)



RN 845297-73-6 CAPLUS
 CN Benzenesulfonamide, 4-methoxy-N-methyl-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)

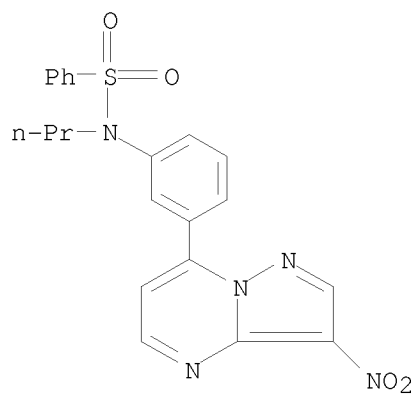


RN 845297-75-8 CAPLUS
 CN Benzenesulfonamide, N-butyl-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



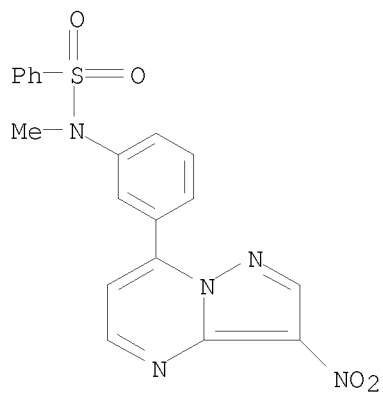
RN 845297-77-0 CAPLUS

CN Benzenesulfonamide, N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propyl- (CA INDEX NAME)



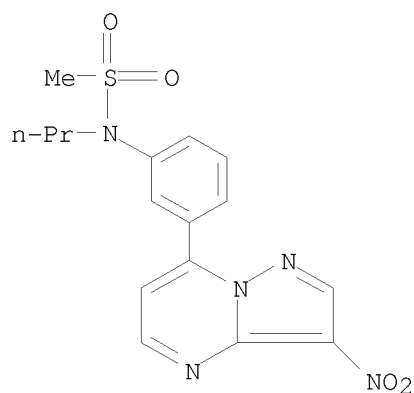
RN 845297-79-2 CAPLUS

CN Benzenesulfonamide, N-methyl-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



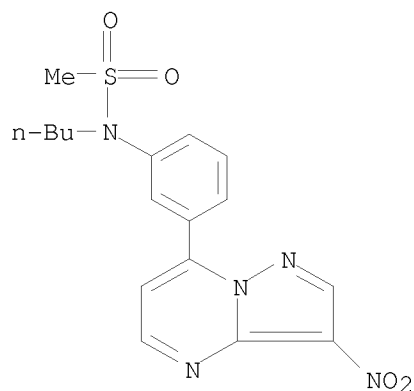
RN 845297-80-5 CAPLUS

CN Methanesulfonamide, N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propyl- (CA INDEX NAME)



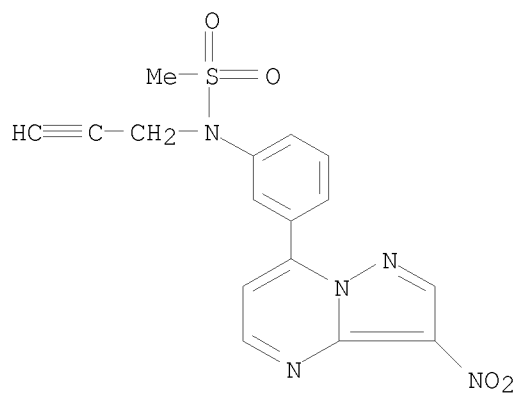
RN 845297-81-6 CAPLUS

CN Methanesulfonamide, N-butyl-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



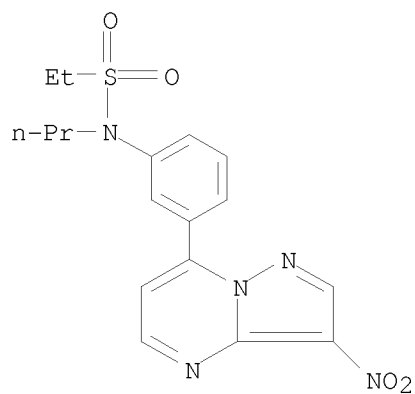
RN 845297-84-9 CAPLUS

CN Methanesulfonamide, N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



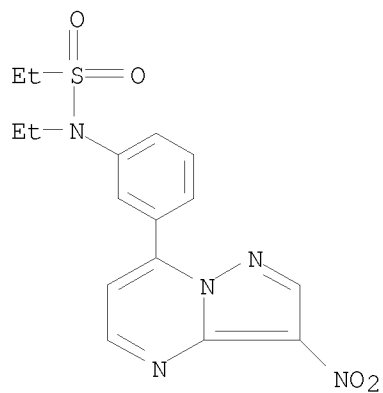
RN 845297-85-0 CAPLUS

CN Ethanesulfonamide, N-[3-(3-nitropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propyl- (CA INDEX NAME)

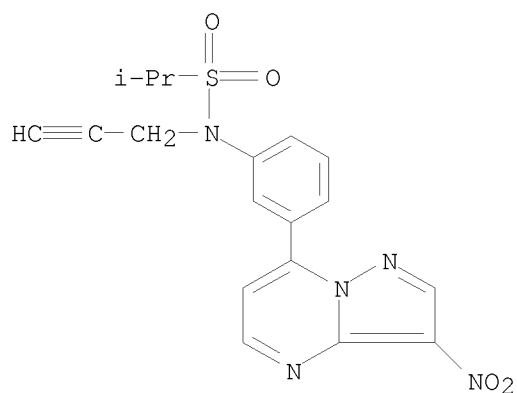


RN 845297-86-1 CAPLUS

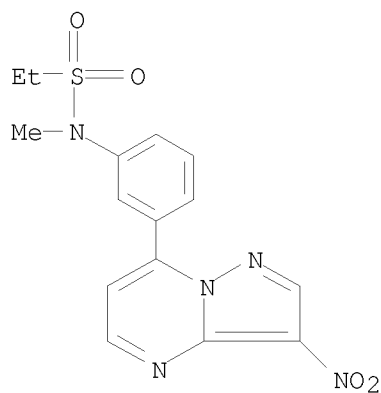
CN Ethanesulfonamide, N-ethyl-N-[3-(3-nitropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



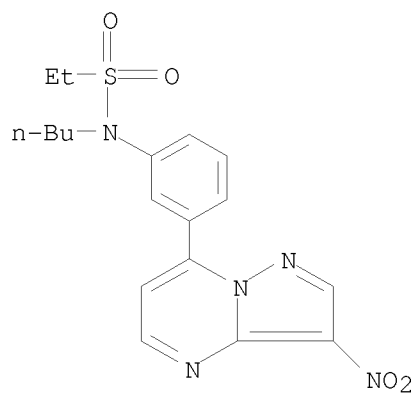
RN 845297-87-2 CAPLUS
 CN 2-Propanesulfonamide, N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynyl- (9CI) (CA INDEX NAME)



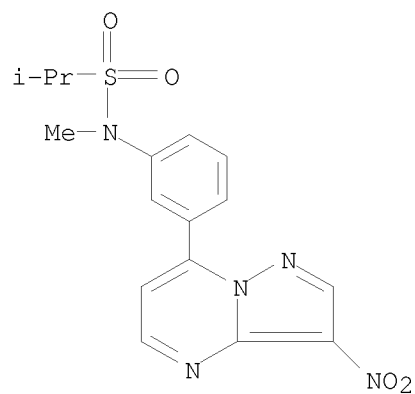
RN 845297-88-3 CAPLUS
 CN Ethanesulfonamide, N-methyl-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



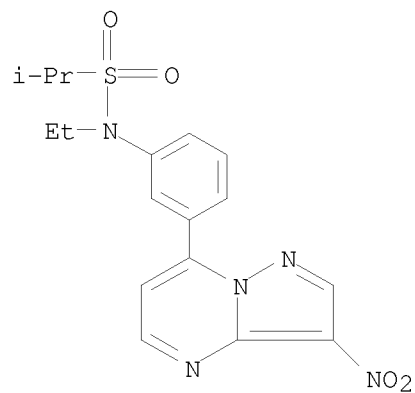
RN 845297-90-7 CAPLUS
 CN Ethanesulfonamide, N-butyl-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



RN 845297-93-0 CAPLUS
 CN 2-Propanesulfonamide, N-methyl-N-[3-(3-nitropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)

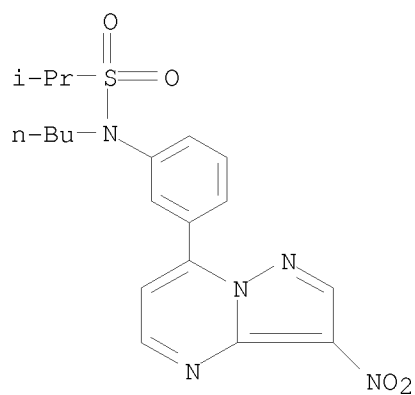


RN 845297-95-2 CAPLUS
 CN 2-Propanesulfonamide, N-ethyl-N-[3-(3-nitropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)



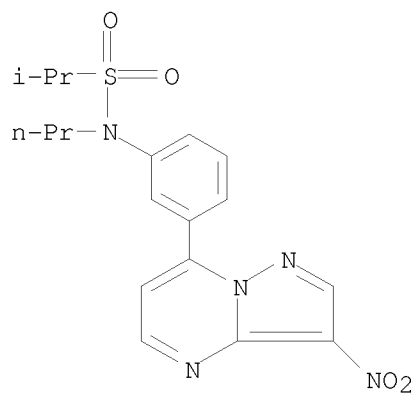
RN 845297-96-3 CAPLUS

CN 2-Propanesulfonamide, N-butyl-N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]- (CA INDEX NAME)

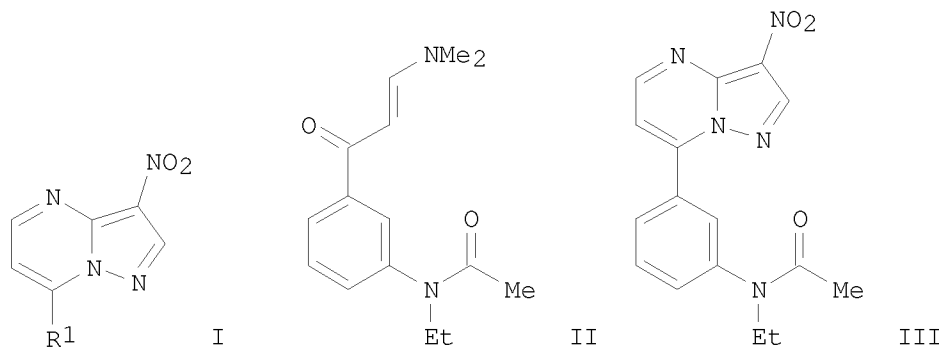


RN 845297-97-4 CAPLUS

CN 2-Propanesulfonamide, N-[3-(3-nitropyrrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propyl- (CA INDEX NAME)



GI



AB Title compds. I [wherein R1 = (un)substituted Ph, pyridyl, pyrimidinyl, triazinyl, N-oxide-pyridyl, thienyl, furyl, thiazolyl or oxazolyl; and pharmaceutically acceptable salts] were prepared as GABAA receptor ligands. Also disclosed are pharmaceutical compns. of I, and a process for the preparation of I. For example, (4-nitro-1H-pyrazol-3-yl)amine underwent cyclization with II to afford III in 17% yield, which showed specific affinity for α 1- and α 2-GABAA receptor with K_i values of 88.6 nM and 499.6 nM, resp., and had 77.25% inhibition of motor activity in the predictive sedation-hypnosis test in mice. Therefore, I and pharmaceutical compns. are useful in the treatment and prevention of diseases modulated by the α 1- and α 2-GABAA receptors, such as anxiety, epilepsy and sleep disorders.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:496735 CAPLUS

DOCUMENT NUMBER: 107:96735

TITLE: Preparation of pyrazolopyrimidinylphenylalkanamides and -carbamic acid alkyl esters as anxiolytics, antiepileptics, hypnotics, and muscle relaxants

INVENTOR(S): Dusza, John P.; Tomcufcik, Andrew S.; Albright, Jay D.

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 12 pp. Cont.-in-part of U.S. 4,521,422.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4654347	A	19870331	US 1985-732985	19850513
US 4521422	A	19850604	US 1984-612812	19840524
PRIORITY APPLN. INFO.:			US 1983-506966	A2 19830623
			US 1984-612812	A2 19840524

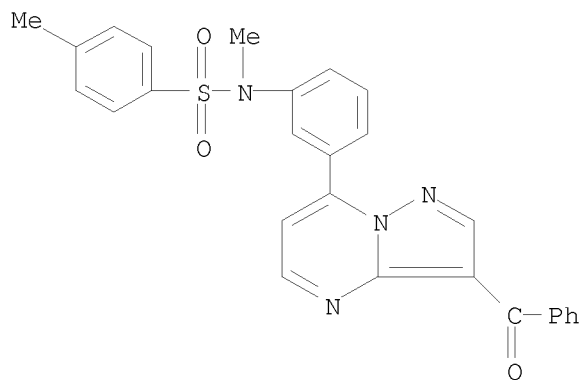
OTHER SOURCE(S): CASREACT 107:96735

IT 109920-54-9P

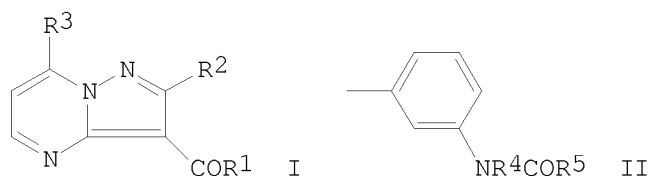
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anxiolytic, antiepileptic, and hypnotic)

RN 109920-54-9 CAPLUS

CN Benzenesulfonamide, N-[3-(3-benzoylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N,4-dimethyl- (CA INDEX NAME)



GI



AB The title compds. I [R¹ = (substituted) Ph, thiazolyl, naphthalenyl, biphenyl, thienyl, furanyl, pyridinyl, etc.; R² = H, alkyl; R³ = II; R⁴ =

H, alkenyl, CH₂C.tplbond.CH, cycloalkylmethyl, CH₂OMe, CH₂CH₂OMe; R₅ = H, cycloalkyl, alkoxy, alkylamino, dialkylamino, (CH₂)_nO-alkyl, (CH₂)_nNH-alkyl, etc.; R₅ may be alkyl when R₄ is not hydrogen, n = 1-3], useful as anxiolytics, antiepileptics, hypnotics, and skeletal muscle relaxants, are prepared. A mixture of 2.46 g N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]propanamide (preparation given) and 1.87 g 3-amino-4-benzoylpyrazole in 50 mL AcOH was refluxed for 15 h to give 2.39 g N-[3-(3-benzoylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]propanamide. At 6.25 mg/kg p.o. or i.p., N-[3-(3-benzoylpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynylacetamide (prepared similarly) protected 100% of tested rats against pentylenetetrazole-induced clonic seizures.

ACCESSION NUMBER: 1985:220889 CAPLUS
 DOCUMENT NUMBER: 102:220889
 ORIGINAL REFERENCE NO.: 102:34659a,34662a
 TITLE: Aryl and heteroaryl[7-(aryl and heteroaryl)-pyrazolo-
 [1,5-a]-pyrimidin-3-yl]methanones
 INVENTOR(S): Dusza, John Paul; Tomcufcik, Andrew Stephen; Albright,
 Jay Donald
 PATENT ASSIGNEE(S): American Cyanamid Co. , USA
 SOURCE: Eur. Pat. Appl., 112 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 129847	A2	19850102	EP 1984-107103	19840620
EP 129847	A3	19870520		
EP 129847	B1	19900606		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
DE 3422844	A1	19850117	DE 1984-3422844	19840620
AT 53391	T	19900615	AT 1984-107103	19840620
DD 228257	A5	19851009	DD 1984-264398	19840621
CA 1233174	A1	19880223	CA 1984-457122	19840621
DK 8403071	A	19841224	DK 1984-3071	19840622
AU 8429770	A	19850103	AU 1984-29770	19840622
AU 568656	B2	19880107		
ZA 8404776	A	19850227	ZA 1984-4776	19840622
HU 37620	A2	19860123	HU 1984-2438	19840622
IL 72208	A	19871130	IL 1984-72208	19840622
JP 60019788	A	19850131	JP 1984-129909	19840623
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PRIORITY APPLN. INFO.:			US 1983-506966	A 19830623
			EP 1984-107103	A 19840620

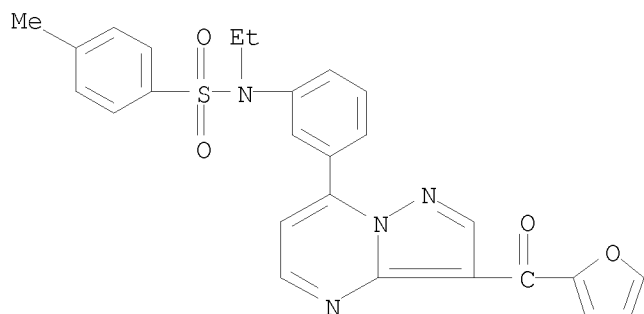
OTHER SOURCE(S): MARPAT 102:220889

IT 96604-72-7P

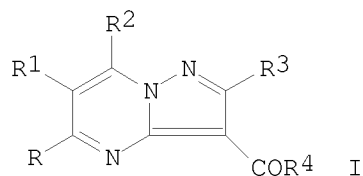
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and detosylation of)

RN 96604-72-7 CAPLUS

CN Benzenesulfonamide, N-ethyl-N-[3-[3-(2-furanylcarbonyl)pyrazolo[1,5-
 a]pyrimidin-7-yl]phenyl]-4-methyl- (CA INDEX NAME)



GI



AB Title compds. I (R, R1, R3 = H, alkyl; R2 = substituted Ph, Ph, furyl, thienyl, pyridyl, N-oxidopyridyl; R4 = Ph, naphthyl, thiazolyl, biphenyl, thienyl, furyl, pyridyl, substituted Ph, thiazolyl, biphenyl, thienyl, or pyridyl), which were prepared, showed anticonvulsant, anxiolytic, sedative, and muscle relaxant activity. 3-Amino-4-benzoylpyrazole was heated with 2-(dimethylamino)vinyl 3-pyridyl ketone in HOAc to give I (R = R1 = R3 = H, R2 = 3-pyridyl, R4 = Ph).

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	63.94	242.51
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-8.00	-8.00

STN INTERNATIONAL LOGOFF AT 19:06:45 ON 11 MAR 2008